21707

Access DB# ____________

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Mike Meller Examiner # : 69404 Date: 12/20/2000

Art Unit: 1651 Phone Number 308-4230 Serial Number: 09/197,427

Mail Box and Bldg/Room Location: 11th Floor / CM1 10A03 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Process for the preparation of Aminoalcohol ..

Inventors (please provide full names): Walter Brieden, Josef Schroer, Christine Bernegger-Egli, Eva Maria Urban, Michael Petersen, Jean-Paul Roduit, Katja Berchtold, Holger Breitbach.

Earliest Priority Filing Date: 11/27/1997

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please Search Claims 1-5

Point of Contact:
Susan Hanley
Technical Info. Specialist
CM1 12C14 Tel: 305-4053

** For Susan Hanley **

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STAFF USE ONLY		Type of Search		Vendors and cost where applicable	
Searcher:	Hanley	NA Sequence (#)		(STN)	
Searcher Phone #:		AA Sequence (#)		Dialog	
Searcher Location:		Structure (#)	2	Questel/Orbit	
Date Searcher Picked Up:	12/28	Bibliographic		Dr.Link	
Date Completed:	12/29	Litigation		Lexis/Nexis	
Searcher Prep & Review Time:	90	Fulltext		Sequence Systems	
Clerical Prep Time:		Patent Family		WWW/Internet	
Online Time:	15	Other		Other (specify)	

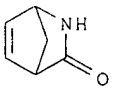
PTO-1590 (1-2000)

=> d bib abs hitstr l57 1

L57 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1999:425590 HCAPLUS
DN 131:73379
TI Preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates
IN Brieden, Walter; Schroer, Josef; Bernegger-Egli, Christine; Urban, Eva Maria; Petersen, Michael; Roduit, Jean-Paul; Berchtold, Katja; Breitbach, Holger
PA Lonza A.-G., Switz.
SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

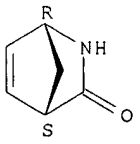
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 926131	A2	19990630	EP 1998-122293	19981124
EP 926131	A3	20000322		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 9805511	A	19990528	NO 1998-5511	19981126
CN 1218795	A	19990609	CN 1998-123022	19981127
JP 11228510	A2	19990824	JP 1998-337437	19981127
PRAI CH 1997-2739		19971127		
CH 1997-2781		19971203		
CH 1998-133		19980121		
CH 1998-723		19980327		
EP 1998-118895		19981007		

AB Title compds. were prepd. by metal **hydride** redn. of 2-azabicyclo[2.2.1]hept-5-en-3-one.
IT **49805-30-3**, 2-Azabicyclo[2.2.1]hept-5-en-3-one. **79200-56-9**, (-)-2-Azabicyclo[2.2.1]hept-5-en-3-one. **162307-09-7**
RL: RCT (Reactant)
(prepn. of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates)
RN 49805-30-3 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one (9CI) (CA INDEX NAME)

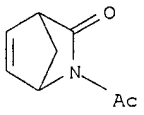


RN 79200-56-9 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, (1R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

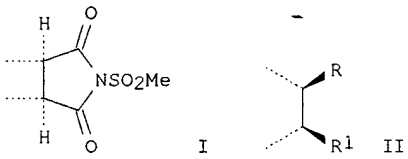


RN 162307-09-7 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-acetyl- (9CI) (CA INDEX NAME)


O
N
Ac

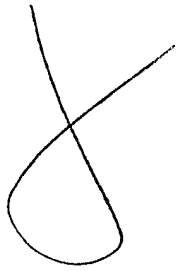
=> d bib abs hitstr 157 2

L57 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1996:322775 HCAPLUS
DN 125:195018
TI Nonreductive enantioselective ring opening of N-(methylsulfonyl)dicarboximides with diisopropoxytitanium .alpha.,.alpha.,.alpha.',.alpha.'-tetraaryl-1,3-dioxolane-4,5-dimethanolate
AU Ramon, Diego J.; Guillena, Gabriela; Seebach, Dieter
CS Laboratorium Organische Chemie, Univ. Zurich, Zurich, CH-8092, Switz.
SO Helv. Chim. Acta (1996), 79(3), 875-894
CODEN: HCACAV; ISSN: 0018-019X
DT Journal
LA English
OS CASREACT 125:195018
GI



I

II

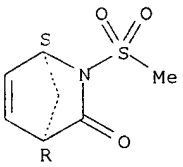


AB Bi- and tricyclic meso-N-(methylsulfonyl)dicarboximides of type I are converted enantioselectively to the resp. mono- and bicyclic [(sulfonamido)carbonyl]carboxylates of type II (R = CO2CHMe2, R1 = CONHSO2Me) by diisopropoxytitanium TADDOLate (75-92% yield). The enantiomer ratios of the products are between 86:14 and 97:3. Recrystn. from CH2Cl2/hexane leads to enantiomerically pure products. The enantioselectivity shows a linear relationship with the enantiomer excess of the TADDOL employed. Redn. of the ester and carboxamide groups and addnl. reductive cleavage of the sulfonamido group gives hydroxy sulfonamides and **amino alcs.** of type II (R = CH2OH; R1 = NHSO2Me) and II (R = CH2OH; R1 = CH2NH2), resp. The abs. configuration of the sulfonamido esters is detd. by chem. correlation, by the x-ray anal. of a camphanate of a hydroxy sulfonamide, and by comparative 19F-NMR anal. of the Mosher esters of the hydroxy sulfonamides. A general proposal for the assignment of the abs. configuration of primary alcs. and amines of Formula HXCH2CHRR1 (X = O, NH), is suggested. From the assignment of the configuration of the sulfonamido esters follows that the Re carbonyl group of the original imide I is converted to an iso-Pr ester group. This result is compatible with a rule previously put forward for the stereochem. course of reactions involving Ti TADDOLate activated chelating electrophiles. A tentative mechanistic model is proposed.

IT **180979-43-5P**
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(nonreductive enantioselective ring opening of N-(methylsulfonyl)dicarboximides with diisopropoxytitanium TADDOLate)

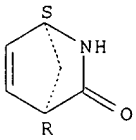
RN 180979-43-5 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(methylsulfonyl)-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

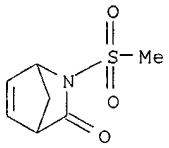


IT **130931-83-8**
RL: RCT (Reactant)
(nonreductive enantioselective ring opening of N-(methylsulfonyl)dicarboximides with diisopropoxytitanium TADDOLate)
RN 130931-83-8 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

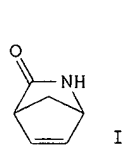


IT **180790-32-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(nonreductive enantioselective ring opening of N-(methylsulfonyl)dicarboximides with diisopropoxytitanium TADDOLate)
RN 180790-32-3 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(methylsulfonyl)- (9CI) (CA INDEX NAME)



=> d bib abs hitstr l57 3

L57 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1991:632737 HCAPLUS
DN 115:232737
TI Synthesis of nucleosides and related compounds. XXII. Carbocyclic analogs of thymidine and related compounds from 2-azabicyclo[2.2.1]hept-5-en-3-ones
AU Katagiri, Nobuya; Nomura, Masahiro; Muto, Makoto; Kaneko, Chikara
CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SO Chem. Pharm. Bull. (1991), 39(7), 1682-8
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
GI



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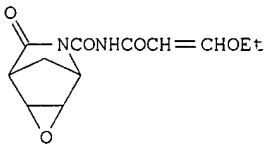

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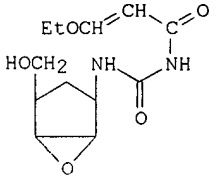
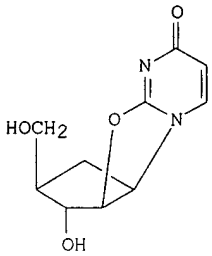


III

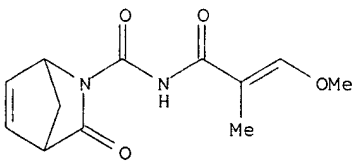


IV

AB Reductive amido bond cleavage, previously used for the synthesis of carbocylic ribothymidine from the readily available 2-azabicyclo[2.2.1]hept-5-en-3-one (I), was successfully applied to the synthesis of carbocyclic analogs of thymidine and related compds. Thus, epoxidn. of I gave 5,6-exo-epoxy-2-azabicyclo[2.2.1]heptan-3-one which was treated with 3-ethoxyacryloyl chloride and AgOCN to give amido deriv. II. The latter was reduced by **NaBH4** to give hydroxymethylcyclopentane deriv. III which was cyclized in MeOH contg. NH4OH to give carbocyclic analog of O2,2'-cyclouridine IV.

IT **136994-68-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and **redn.** of)

RN 136994-68-8 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-ene-2-carboxamide, N-(3-methoxy-2-methyl-1-oxo-2-propenyl)-3-oxo- (9CI) (CA INDEX NAME)

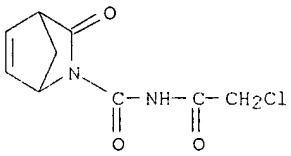


=> d bib abs hitstr 157 4

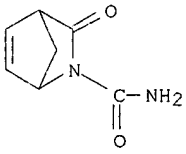
L57 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1991:142741 HCAPLUS
DN 114:142741
TI cis-4-Ureidopent-2-enemethanol and its preparation
IN Kaneko, Chikara; Katagiri, Shinya
PA Japan
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 02221250	A2	19900904	JP 1989-44738	19890223

OS MARPAT 114:142741
AB The title compd. (I), useful as an intermediate for carbocyclic pyrimidine nucleosides, is prepd. by reductive ring opening of 2-carbamoyl-2-azabicyclo[2.2.1]hept-5-ene-3-one or its N-haloacetyl derivs. 2-Azabicyclo[2.2.1]hept-5-ene-3-one was treated with ClCH2CONCO in benzene under stirring at room temp. for 1.5 h to give 69% 2-(N-chloroacetyl)carbamoyl-2-azabicyclo[2.2.1]hept-5-ene-3-one, which in MeOH was treated with **NaBH4** at room temp. for 3 h to give 59% I.
IT **132243-25-5P 132243-26-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and **reductive** ring opening of, ureidocyclopentenemethanol from)
RN 132243-25-5 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-ene-2-carboxamide, N-(chloroacetyl)-3-oxo- (9C (CA INDEX NAME)



RN 132243-26-6 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-ene-2-carboxamide, 3-oxo- (9CI) (CA INDEX NAME)

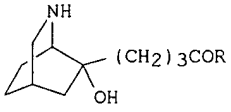


=> d bib abs hitstr l57 5

L57 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1991:102661 HCAPLUS
DN 114:102661
TI Carbocyclic nucleoside analogs. Synthesis and properties of 1-(4-hydroxymethyl-2-cyclopenten-1-yl)thymine
AU Van Maarschalkerwaart, D. A. H.; Willard, N. P.; Koomen, G. J.
CS Org. Chem. Lab., Univ. Amsterdam, 1018 WS, Neth.
SO Nucleosides Nucleotides (1990), 9(6), 787-91
CODEN: NUNUD5; ISSN: 0732-8311
DT Journal
LA English
OS CASREACT 114:102661
AB The title compd., a potential anti-AIDS drug, was prepd. via construction of the thymine ring on a suitably substituted aminocyclopentene. NOE difference spectroscopy was used for establishing the stereochem. of the products.
IT **61865-48-3**
RL: RCT (Reactant)
(reactions of, in synthesis of carbocyclic nucleoside analogs)
RN 61865-48-3 HCAPLUS

=> d bib abs hitstr l57 6

L57 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1977:72408 HCAPLUS
DN 86:72408
TI Heterocyclic .alpha.-**amino alcohols** with the isoquinuclidine skeleton, II
AU Thon, Detlev; Schneider, Woldemar
CS Pharm. Inst., Univ. Freiburg, Freiburg/Br., Ger.
SO Justus Liebigs Ann. Chem. (1976), (11), 2094-104
CODEN: JLACBF
DT Journal
LA German
GI



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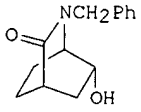

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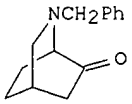

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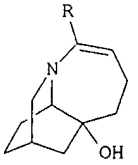

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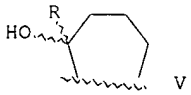
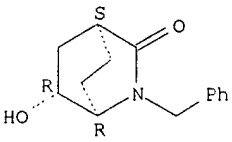


V

AB Amino ketones I (R = Me, Et) were prepd. in 7 steps from keto alc. II and Cl(CH2)3C(Z)R1(R = Me, Et, Z = O) via Grignard reaction of Cl(CH2)3C(Z)R (R = Me, Et, Z = OCH2CH2O) with ketone III. Thermal cyclization of I gave tricyclic enamines IV via unisolated **amino alcs.** V.

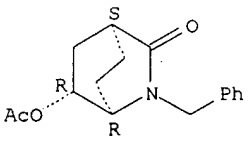
IT **5906-38-7**
RL: RCT (Reactant)
(O-acylation of)
RN 5906-38-7 HCAPLUS
CN 2-Azabicyclo[2.2.2]octan-3-one, 6-hydroxy-2-(phenylmethyl)-, (1.alpha.,4.alpha.,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



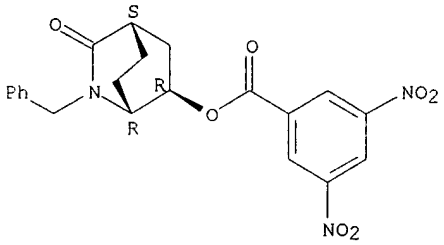
IT **5906-39-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. of)
RN 5906-39-8 HCAPLUS
CN 2-Azabicyclo[2.2.2]octan-3-one, 6-(acetyloxy)-2-(phenylmethyl)-, (1.alpha.,4.alpha.,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



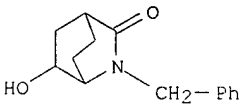
IT **61707-36-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 61707-36-6 HCAPLUS
CN 2-Azabicyclo[2.2.2]octan-3-one, 6-[(3,5-dinitrobenzoyl)oxy]-2-(phenylmethyl)-, (1.alpha.,4.alpha.,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



=> d bib abs hitstr 157 7

L57 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1973:418547 HCAPLUS
DN 79:18547
TI Improved synthesis of 2-substituted 2-azabicyclo[2.2.2]octanones
AU Borne, Ronald F.; Clark, C. Randall; Peden, Richard L.
CS Sch. Pharm., Univ. Mississippi, University, Miss., USA
SO J. Heterocycl. Chem. (1973), 10(2), 241-2
CODEN: JHTCAD
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB 2-Benzyl-2-azabicyclo[2.2.2]octan-6-one (I) was prepd. from 2-benzyl-6-trans-hydroxy-2-azabicyclo[2.2.2]octane (II, X = H2) in 77% yield by the Oppenauer oxidn. using KOCMe3. II was prepd. in 80% yield from 2-benzyl-6-trans-hydroxy-2-azabicyclo[2.2.2]octan-3-one (II, X = O) redn. using Red-Al. Other **amino alcs.** were also oxidized by this method.
IT **38025-71-7**
RL: RCT (Reactant)
(redn. of)
RN 38025-71-7 HCAPLUS
CN 2-Azabicyclo[2.2.2]octan-3-one, 6-hydroxy-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



=> d bib abs hitstr l57 8

L57 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1968:419316 HCAPLUS
DN 69:19316
TI Reactions of dl-camphoric acid derivatives
AU Manzoor-I-Khuda, M.; Akhter, Mrs. Malika; Quereishi, Shahida
CS Cent. Lab., Pakistan Counc. Sci. Ind. Res., Pakistan, India
SO Pakistan J. Sci. Ind. Res. (1967), 10(2), 97-101
CODEN: PSIRAA
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB The amides of dl-ortho-camphoric acid and dl-allo-camphoric acid are prepd. under mild conditions and examd. by ir and N.M.R. spectroscopy to give conclusive evidence of stereoisomerism. Thus, the reaction of 20 g. Me ortho-camphorate (I) with 7.4 ml. SOCl2 1 hr. at room temp., evapn. of excess SOCl2 in vacuo at room temp., and addn. of the ester acid chloride to 400 ml. liq. NH3 gave ortho-camphoric Me ester amide (m. 134-6.degree.). Further treatment of the aq. ammonia soln. gave unchanged I (m. 83.degree.), camphoric **anhydride** (m. 220-1.degree.), camphorimide (II) (m. 245.degree.), and III, (m. 172.degree.). Similar treatment of Me allo-camphorate gave allo-camphoric Me ester amide (m. 120.degree.), II (m. 250.degree.), and a cycloamide (IV, m. 209-10.degree.). .alpha.-Camphoramidic acid (V) (m. 192.degree.; Me ester m. 120.degree.) was prepd. by adding 2 g. camphoric **anhydride** to 50 ml. 35% aq. NH3 and acidifying the filtrate with HCl. .beta.-Camphoramidic acid (VI) (m. 175.degree.; Me ester m. 135-6.degree.) was prepd. by refluxing 1 g. II in 50 ml. 5% NaOH, acidifying the mixt., treating the ether ext. with Na2CO3, acidifying the alk. soln., extg. with ether, and evapg. Thus, the Me esters of V and VI are identical with the allo- and ortho-ester amides, resp. LiAlH4 redn. of the ester amides in tetrahydrofuran gave **amino alcs**. having superimposable ir spectra but different HCl and diacetate derivs.; ortho-camphoramine alc.-HCl m. 250.degree.; diacetate b2.cntdot.0 180-90.degree.; and allo-camphoramine alc.-HCl m. 256.degree.; diacetate b4 215-20.degree.. Redn. of II gave an oil which on treatment with HCl gave camphorimine-HCl, m. 282-8.degree..
IT **19908-58-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 19908-58-8 HCAPLUS

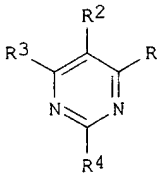
=> d bib abs hitstr l19 1

L19 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 2000:756683 HCAPLUS
DN 133:309906
TI Method for producing 2-alkylthio-4-**chloropyrimidines**
IN **Roduit, Jean-Paul**
PA Lonza A.-G., Switz.
SO PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000063184	A1	20001026	WO 2000-EP3136	20000407

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

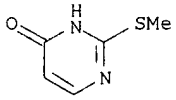
PRAI EP 1999-107621 19990416
OS CASREACT 133:309906; MARPAT 133:309906
GI



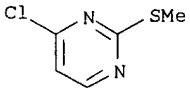
I

AB Title compds. (I; R = Cl; R2,R3 = H or alkyl; R4 = SR1; R1 = alkyl) were prepd. by S-alkylation of I (R = OH)(II; R4 = SH) by R1X (X = Cl ,Br, iodo) in the presence of a base to give II (R4 = SR1) followed by treatment with COCl2.

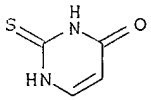
IT **5751-20-2P**, 4-Hydroxy-2-**methylthiopyrimidine**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(method for producing 2-alkylthio-4-**chloropyrimidines**)
RN 5751-20-2 HCAPLUS
CN 4(1H)-Pyrimidinone, 2-(methylthio)- (8CI, 9CI) (CA INDEX NAME)



IT **49844-90-8P**, 4-Chloro-2-**methylthiopyrimidine**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(method for producing 2-alkylthio-4-**chloropyrimidines**)
RN 49844-90-8 HCAPLUS
CN Pyrimidine, 4-chloro-2-(methylthio)- (7CI, 9CI) (CA INDEX NAME)



IT **141-90-2**, 2-Thiouracil
RL: RCT (Reactant)
(method for producing 2-alkylthio-4-**chloropyrimidines**)
RN 141-90-2 HCAPLUS
CN 4(1H)-Pyrimidinone, 2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)



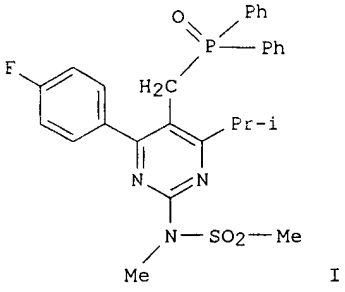
RE.CNT 3
RE
(1) Alan, R; JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANSACTIONS 2 1989, V10, P1499
(2) Hoechst; DE 4029650 A 1992 HCAPLUS
(3) Zemlicka, J; TETRAHEDRON LETTERS 1962, V9, P397

=> d bib abs hitstr l19 2

L19 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 2000:645675 HCAPLUS
DN 133:238117
TI Process for the preparation of n-[5-(diphenylphosphinoylmethyl)-4-(4-fluorphenyl)-6-**isopropylpyrimidin**-2-yl]-n-methylmethansulfonamide
IN **Brieden, Walter**; Veith, Ulrich
PA Lonza A.-G., Switz.
SO Eur. Pat. Appl., 8 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

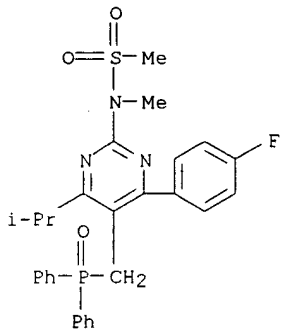
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1035127	A1	20000913	EP 2000-105011	20000309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6160115	A	20001212	US 2000-521842	20000309
JP 2000309595	A2	20001107	JP 2000-66084	20000310
CN 1272499	A	20001108	CN 2000-103783	20000310
PRAI EP 1999-104785		19990310		
EP 1999-104786		19990310		
US 1999-147139		19990804		

OS CASREACT 133:238117
GI

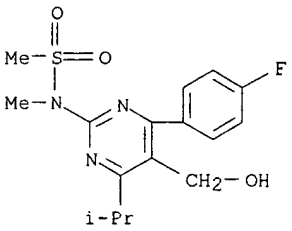


I

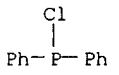
AB Title compd. I was prepd. in 89% yield by direct reaction of [4-(4-fluorophenyl)-6-isopropyl-2-(N-methyl-N-methylsulfonylamino) **pyrimidin**-5-yl]methanol with Ph2PCl in toluene at 60.degree.. I is an intermediate in the synthesis of pharmaceutically active agents, in particular, HMG-Co A reductase inhibitors.
IT **289042-10-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 289042-10-0 HCAPLUS
CN Methanesulfonamide, N-[5-[(diphenylphosphinyl)methyl]-4-(4-fluorophenyl)-6-(1-methylethyl)-2-pyrimidinyl]-N-methyl- (9CI) (CA INDEX NAME)



IT **147118-36-3**
RL: RCT (Reactant)
(reaction with chlorodiphenylphosphine)
RN 147118-36-3 HCAPLUS
CN Methanesulfonamide, N-[4-(4-fluorophenyl)-5-(hydroxymethyl)-6-(1-methylethyl)-2-pyrimidinyl]-N-methyl- (9CI) (CA INDEX NAME)



IT **1079-66-9**, Chlorodiphenylphosphine
RL: RCT (Reactant)
(reaction with substituted **pyrimidinylmethanol** deriv.)
RN 1079-66-9 HCAPLUS
CN Phosphinous chloride, diphenyl- (6CI, 8CI, 9CI) (CA INDEX NAME)



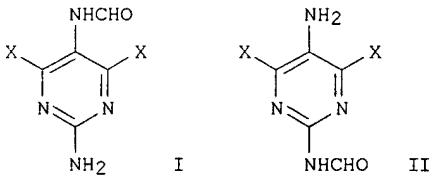
RE.CNT 4
RE
(1) Buss, A; JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANSACTIONS 1 1985
(2) Halmann, M; PHOSPHORUS SULFUR RELAT ELEM 1988, V40(3-4), P251 HCAPLUS
(3) Shionogi Seiyaku K K; EP 0521471 A 1993 HCAPLUS
(4) Watanabe, M; BIOORG MED CHEM 1997, V5(2), P437 HCAPLUS

=> d bib abs hitstr l19 3

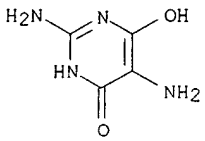
L19 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 2000:441376 HCAPLUS
DN 133:58809
TI Process for the preparation of N-(amino-4,6-dihalo-5-**pyrimidinyl**)formamides
IN Saikali, Elie; **Brieden, Walter**
PA Lonza A.-G., Switz.
SO Eur. Pat. Appl., 7 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1013647	A2	20000628	EP 1999-125042	19991215
EP 1013647	A3	20001004		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000191647	A2	20000711	JP 1999-359778	19991217
CN 1265393	A	20000906	CN 1999-126244	19991217
NO 9906325	A	20000622	NO 1999-6325	19991220
PRAI EP 1998-124188		19981221		
EP 1999-100788		19990118		
EP 1999-107161		19990412		
US 1999-146106		19990729		

OS CASREACT 133:58809; MARPAT 133:58809
GI



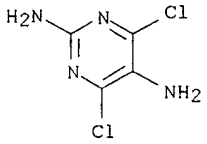
AB Title compds. I (X = halo) and II (X = halo) were prepd. Thus, 0.01 mol 2,5-diamino-4,6-**dichloropyrimidine** and 4.55 mL water were stirred at room temp., 14.97 mL 98% HCO2H was added, and the reaction mixt. was heated at 50-55.degree. for 3 h. After azeotropic distn., I (X = Cl) was obtained in 90% yield.
IT **70080-76-1**
RL: RCT (Reactant)
(chlorination of)
RN 70080-76-1 HCAPLUS
CN 4(1H)-Pyrimidinone, 2,5-diamino-6-hydroxy-, hydrochloride (9CI) (CA INDEX NAME)



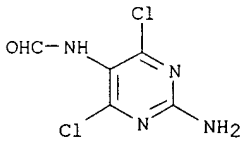
x HCl

IT **55583-59-0**, 2,5-Diamino-4,6-**dichloropyrimidine**

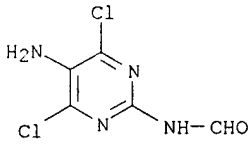
RL: RCT (Reactant)
(prepn. and formylation of)
RN 55583-59-0 HCAPLUS
CN 2,5-Pyrimidinediamine, 4,6-dichloro- (9CI) (CA INDEX NAME)



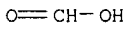
IT **171887-03-9P 276856-48-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 171887-03-9 HCAPLUS
CN Formamide, N-(2-amino-4,6-dichloro-5-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 276856-48-5 HCAPLUS
CN Formamide, N-(5-amino-4,6-dichloro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



IT **64-18-6**, Formic acid, reactions
RL: RCT (Reactant)
(prepn. of N-(amino-4,6-dihalo-5-**pyrimidinyl**)formamides)
RN 64-18-6 HCAPLUS
CN Formic acid (7CI, 8CI, 9CI) (CA INDEX NAME)



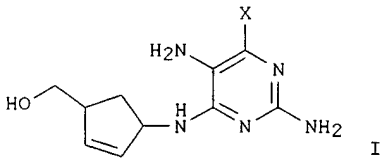
=> d bib abs hitstr l19 4

L19 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 2000:314678 HCAPLUS
DN 132:308602
TI Preparation of 4-[(2,5-diamino-6-**halopyrimidin**-4-yl)amino]cyclopent-2-enylmethanols from 2,5-diamino-4,6-**dihalopyrimidines** and 4-aminocyclopent-2-enylmethanol.
IN **Brieden, Walter**; Saikali, Elie
PA Lonza A.-G., Switz.
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000026193	A1	20000511	WO 1999-EP8270	19991029

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

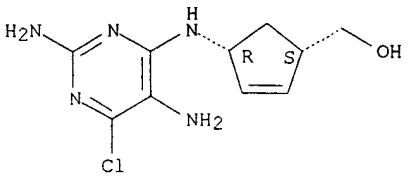
PRAI EP 1998-120529 19981030
US 1999-146105 19990729
OS CASREACT 132:308602
GI



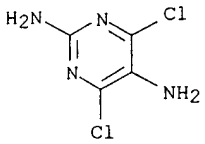
I

AB Title compds. (I; X = halo) were prepd. by reaction of 2,5-diamino-4,6-**dihalopyrimidines** with 4-aminocyclopent-2-enylmethanol in the presence of base and in a polar protic solvent. Thus, (1S,4R)-4-aminocyclopent-2-enylmethanol hydrochloride, 2,5-diamino-4,6-**dichloropyrimidine**, and NaHCO3 were refluxed 16 h in EtOH to give 60% I (X = Cl).
IT **141271-12-7P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 4-[(2,5-diamino-6-**halopyrimidin**-4-yl)amino]cyclopent-2-enylmethanols from 2,5-diamino-4,6-**dihalopyrimidines** and 4-aminocyclopent-2-enylmethanol)
RN 141271-12-7 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-[(2,5-diamino-6-chloro-4-pyrimidinyl)amino]-, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

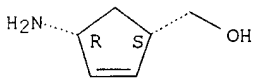


IT **55583-59-0,** 2,5-Diamino-4,6-**dichloropyrimidine**
168960-19-8 229177-39-3
RL: RCT (Reactant)
(prepn. of 4-[(2,5-diamino-6-**halopyrimidin**
-4-yl)amino]cyclopent-2-enylmethanols from 2,5-diamino-4,6-
dihalopyrimidines and 4-aminocyclopent-2-enylmethanol)
RN 55583-59-0 HCAPLUS
CN 2,5-Pyrimidinediamine, 4,6-dichloro- (9CI) (CA INDEX NAME)



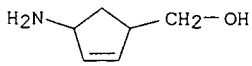
RN 168960-19-8 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-amino-, hydrochloride, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

RN 229177-39-3 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-amino- (9CI) (CA INDEX NAME)



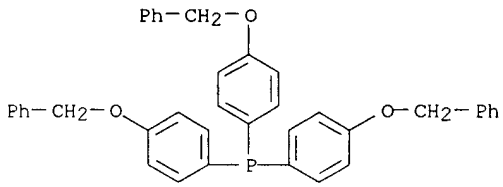
RE.CNT 9
RE
(1) Andersen, M; TETRAHEDRON LETTERS,NL,ELSEVIER SCIENCE 1996, V37(45), P8147 HCAPLUS
(2) Beecham Group Plc; WO 9101310 A 1991 HCAPLUS
(3) Evans, C; JOURNAL OF THE CHEMICAL SOCIETY 1992, 5, P589 HCAPLUS
(4) Legraverend, M; SYNTHESIS 1990, 7, P587 HCAPLUS
(5) Lonza Ag; EP 0684236 A 1995 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr l19 5

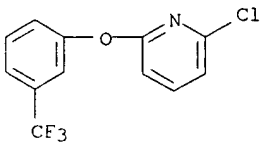
L19 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1999:289436 HCAPLUS
DN 130:311703
TI Preparation of N-arylheteroaromatic carboxamides
IN **Roduit, Jean-Paul**; Kalbermatten, Georges
PA Lonza A.-G., Switz.
SO U.S., 8 pp., Cont.-in-part of U.S. Ser. No. 850,393.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5900484	A	19990504	US 1997-926455	19970910
	US 5892032	A	19990406	US 1997-850393	19970502
PRAI	CH 1996-2279		19960918		
	US 1997-850393		19970502		
	CH 1996-1178		19960509		

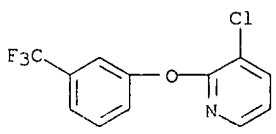
OS CASREACT 130:311703; MARPAT 130:311703
AB RCONR6R7 [R = (un)substituted pyridinyl, **-pyrimidinyl**, -pyrazinyl, etc.; R6 = H or alkyl; R7 = (un)substituted (hetero)aryl] were prepd. by condensation of RR1 (R1 = Cl, Br, iodo), CO, and HNR6R7 in the presence of a Pd phosphine complex.
IT **223626-61-7**
RL: CAT (Catalyst use); USES (Uses)
(prepn. of)
RN 223626-61-7 HCAPLUS
CN Phosphine, tris[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



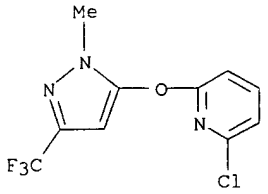
IT **153564-24-0P 197565-66-5P 199276-46-5P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N-arylheteroarom. carboxamides)
RN 153564-24-0 HCAPLUS
CN Pyridine, 2-chloro-6-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 197565-66-5 HCAPLUS
CN Pyridine, 3-chloro-2-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

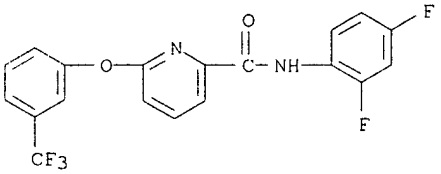


RN 199276-46-5 HCAPLUS
CN Pyridine, 2-chloro-6-[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]oxy]- (9CI) (CA INDEX NAME)

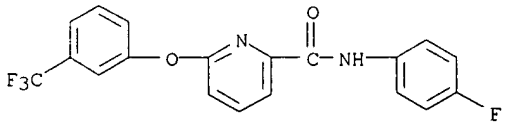


IT **137640-96-1P 137641-05-5P 137641-18-0P 137641-25-9P 137641-28-2P 157328-69-3P 157328-74-0P 223609-23-2P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N-arylheteroarom. carboxamides)

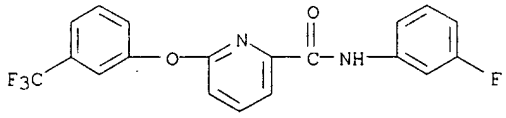
RN 137640-96-1 HCAPLUS
CN 2-Pyridinecarboxamide, N-(2,4-difluorophenyl)-6-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



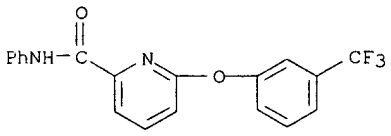
RN 137641-05-5 HCAPLUS
CN 2-Pyridinecarboxamide, N-(4-fluorophenyl)-6-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



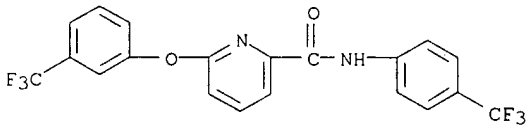
RN 137641-18-0 HCAPLUS
CN 2-Pyridinecarboxamide, N-(3-fluorophenyl)-6-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



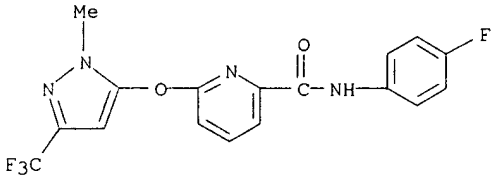
RN 137641-25-9 HCAPLUS
CN 2-Pyridinecarboxamide, N-phenyl-6-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



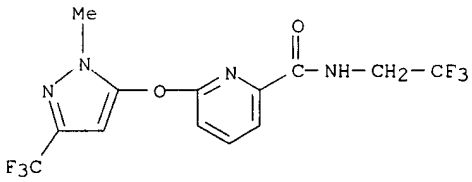
RN 137641-28-2 HCAPLUS
CN 2-Pyridinecarboxamide, 6-[3-(trifluoromethyl)phenoxy]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



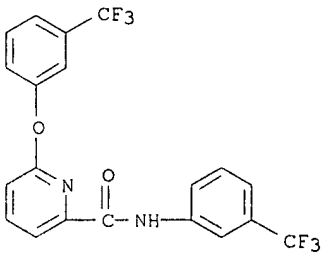
RN 157328-69-3 HCAPLUS
CN 2-Pyridinecarboxamide, N-(4-fluorophenyl)-6-[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]oxy]- (9CI) (CA INDEX NAME)



RN 157328-74-0 HCAPLUS
CN 2-Pyridinecarboxamide, 6-[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]oxy]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

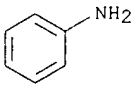


RN 223609-23-2 HCAPLUS
CN 2-Pyridinecarboxamide, 6-[3-(trifluoromethyl)phenoxy]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

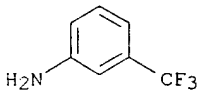


IT **62-53-3**, Aniline, reactions **98-16-8**, 3-Trifluoromethylaniline **98-17-9**, 3-Trifluoromethylphenol **367-25-9**, 2,4-Difluoroaniline **371-40-4**, 4-Fluoroaniline **372-19-0**, 3-Fluoroaniline **455-14-1**, 4-Trifluoromethylaniline **2402-77-9**, 2,3-Dichloropyridine **2402-78-0**, 2,6-Dichloropyridine **122431-37-2**
RL: RCT (Reactant)
(prepn. of N-arylheteroarom. carboxamides)

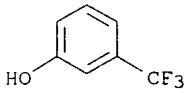
RN 62-53-3 HCAPLUS
CN Benzenamine (9CI) (CA INDEX NAME)



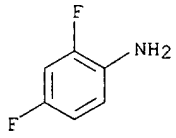
RN 98-16-8 HCAPLUS
CN Benzenamine, 3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



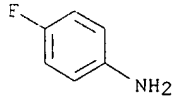
RN 98-17-9 HCAPLUS
CN Phenol, 3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



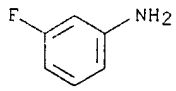
RN 367-25-9 HCAPLUS
CN Benzenamine, 2,4-difluoro- (9CI) (CA INDEX NAME)



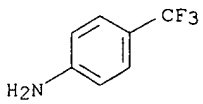
RN 371-40-4 HCAPLUS
CN Benzenamine, 4-fluoro- (9CI) (CA INDEX NAME)



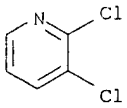
RN 372-19-0 HCAPLUS
CN Benzenamine, 3-fluoro- (9CI) (CA INDEX NAME)



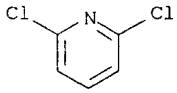
RN 455-14-1 HCAPLUS
CN Benzenamine, 4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



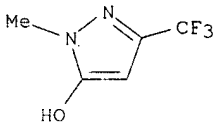
RN 2402-77-9 HCAPLUS
CN Pyridine, 2,3-dichloro- (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 2402-78-0 HCAPLUS
CN Pyridine, 2,6-dichloro- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 122431-37-2 HCAPLUS
CN 1H-Pyrazol-5-ol, 1-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RE.CNT 41
RE
(1) Allphin; US 5166352 1992 HCAPLUS
(2) Anon; 1969 HCAPLUS
(3) Anon; EP 0001187 1979 HCAPLUS
(4) Anon; EP 0053011 1982 HCAPLUS
(5) Anon; 1988 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr l19 6

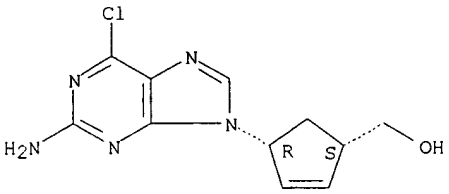
L19 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1998:760072 HCAPLUS
DN 130:24137
TI Multistep process for the preparation of (1S,4R)- and/or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol
IN **Bernegger, Christine; Urban, Eva-Maria**; Birch, Olwen Mary; Burgdorf, Kurt; Brux, Frank; Etter, Kay-Sara; Bossard, Pierre; **Brieden, Walter**; Duc, Laurent; Gordon, John; O'murchu, Colm; Guggisberg, Yves
PA Lonza Ag, Switz.
SO Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 878548	A2	19981118	EP 1998-108721	19980513
	EP 878548	A3	19991013		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6156893	A	20001205	US 1998-73553	19980506
	CA 2237297	AA	19981113	CA 1998-2237297	19980511
	NO 9802149	A	19981116	NO 1998-2149	19980512
	JP 11005793	A2	19990112	JP 1998-129338	19980512
	CN 1201794	A	19981216	CN 1998-108865	19980513
	US 6137007	A	20001024	US 1999-373862	19990813
PRAI	CH 1997-1116		19970513		
	CH 1997-2740		19971127		
	US 1998-73553		19980506		

OS MARPAT 130:24137
AB A new procedure for the prodn. of (1S,4R)- (I) or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol (II) is claimed. (.+-.)-2-Azabicyclo[2.2.1]hept-5-en-3-one is acylated at the amide NH and the compd. is cleaved to form the racemic acylamino cyclopentene deriv. This is stereospecifically deacylated by a biotechnol. process to produce (1S,4R)- or (1R,4S)-1-amino-4-hydroxymethyl-2-cyclopentene. A 4th step is the reaction with N-(2-amino-4,6-**dichloropyrimidine**-5-yl)formamide to produce (1S,4R)- and/or (1R,4S)-4-[(2-amino-6-chloro-5-formamido-4-**pyrimidinyl**)-amino]-2-cyclopentene-1-methanol, which are cyclized to produce compds. I and II.
IT **9012-56-0P**, N-**Acetylaminoalcohol** hydrolase
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)
(from Rhodococcus erythropolis)
RN 9012-56-0 HCAPLUS
CN Amidase (9CI) (CA INDEX NAME)

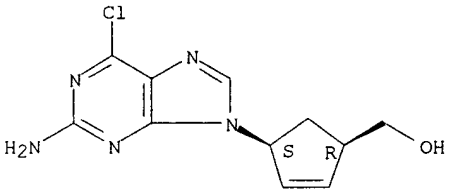
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **136522-33-3P 216481-88-8P**
RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(multistep process for the prepn. of (1S,4R)- and/or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
RN 136522-33-3 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 216481-88-8 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-, (1R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



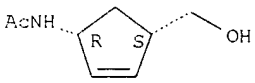
IT **168960-19-8P**
RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(multistep process for the prepn. of (1S,4R)- and/or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
RN 168960-19-8 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-amino-, hydrochloride, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

● HCl

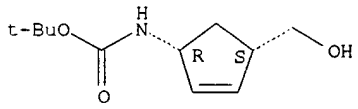
IT **130931-86-1P 168960-18-7P 171887-04-0P 216481-85-5P**
RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(multistep process for the prepn. of (1S,4R)- and/or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
RN 130931-86-1 HCAPLUS
CN Acetamide, N-[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



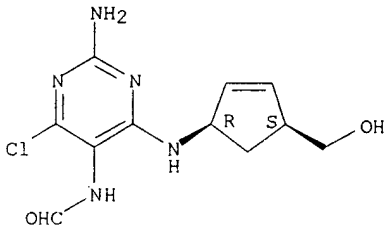
RN 168960-18-7 HCAPLUS
CN Carbamic acid, [(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



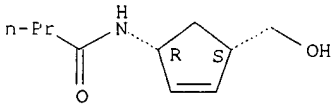
RN 171887-04-0 HCAPLUS
CN Formamide, N-{2-amino-4-chloro-6-[[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]amino]-5-pyrimidinyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 216481-85-5 HCAPLUS
CN Butanamide, N-[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

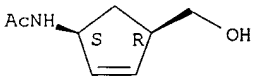


IT **136522-35-5P**
RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(multistep process for the prepn. of (1S,4R)- and/or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
RN 136522-35-5 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-amino-, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

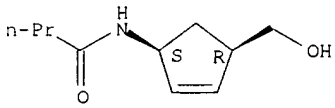
IT **216481-84-4P 216481-86-6P**
RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(multistep process for the prepn. of (1S,4R)- and/or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
RN 216481-84-4 HCAPLUS
CN Acetamide, N-[(1S,4R)-4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

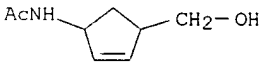


RN 216481-86-6 HCAPLUS
CN Butanamide, N-[(1S,4R)-4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)

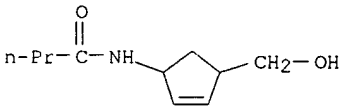
Absolute stereochemistry.



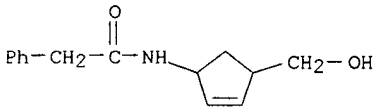
IT **199395-80-7P 199395-81-8P 199395-82-9P**
199395-84-1P 199395-85-2P 216481-83-3P
RL: BPR (Biological process); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(multistep process for the prepn. of (1S,4R)- and/or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
RN 199395-80-7 HCAPLUS
CN Acetamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)



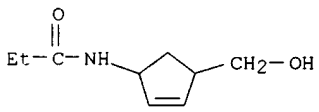
RN 199395-81-8 HCAPLUS
CN Butanamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)



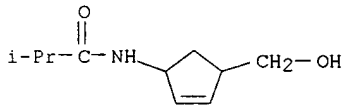
RN 199395-82-9 HCAPLUS
CN Benzeneacetamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)



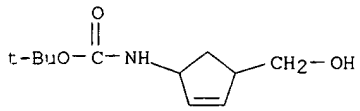
RN 199395-84-1 HCAPLUS
CN Propanamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)



RN 199395-85-2 HCAPLUS
CN Propanamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

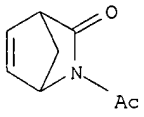


RN 216481-83-3 HCAPLUS
CN Carbamic acid, [4-(hydroxymethyl)-2-cyclopenten-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

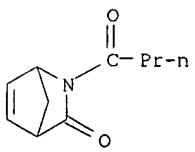


IT **9014-06-6**
RL: CAT (Catalyst use); USES (Uses)
(multistep process for the prepn. of (1S,4R)- and/or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
RN 9014-06-6 HCAPLUS
CN Amidase, penicillin (9CI) (CA INDEX NAME)

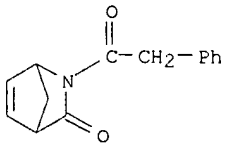
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **162307-09-7P 199395-75-0P 199395-76-1P 199395-77-2P 199395-78-3P 216481-82-2P 216481-87-7P**
RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(multistep process for the prepn. of (1S,4R)- and/or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
RN 162307-09-7 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-acetyl- (9CI) (CA INDEX NAME)



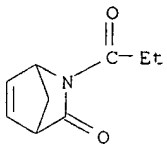
RN 199395-75-0 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(1-oxobutyl)- (9CI) (CA INDEX NAME)



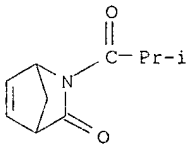
RN 199395-76-1 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(phenylacetyl)- (9CI) (CA INDEX NAME)



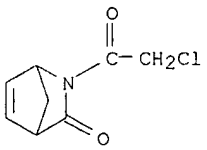
RN 199395-77-2 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(1-oxopropyl)- (9CI) (CA INDEX NAME)



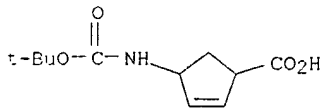
RN 199395-78-3 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)



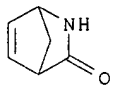
RN 216481-82-2 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(chloroacetyl)- (9CI) (CA INDEX NAME)



RN 216481-87-7 HCAPLUS
CN 2-Cyclopentene-1-carboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)



IT **49805-30-3**, 2-Azabicyclo[2.2.1]hept-5-en-3-one
RL: RCT (Reactant)
(multistep process for the prepn. of (1S,4R)- and/or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
RN 49805-30-3 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one (9CI) (CA INDEX NAME)

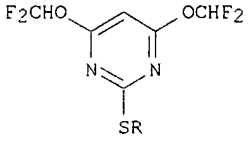

NH
O

=> d bib abs hitstr l19 7

L19 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1998:133616 HCAPLUS
DN 128:140725
TI Process for the preparation of 4,6-bis(difluoromethoxy)**pyrimidine** derivatives
IN Naepfli, Andreas; **Roduit, Jean-Paul**; Wellig, Alain
PA Lonza A.-G., Switz.
SO Eur. Pat. Appl., 5 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 820992	A1	19980128	EP 1997-111345	19970704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2208734	AA	19980124	CA 1997-2208734	19970626
JP 10087635	A2	19980407	JP 1997-192189	19970717
PRAI CH 1996-1846		19960724		

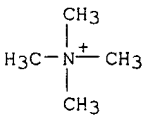
OS CASREACT 128:140725; MARPAT 128:140725
GI



I

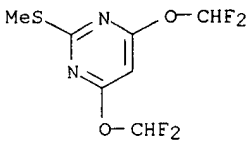
AB Title compds. I [R = alkyl, (un)substituted Ph, CH2Ph] were prepd. by treating a **dihydroxypyrimidine** alkali metal salt with ClCHF2 in presence of a phase transfer catalyst, preferably Me4N+ Cl- in a ketone solvent, preferably acetone. Thus, sodium methylthiobarbiturate was treated under pressure with ClCHF2 in acetone in presence of Me4N+ Cl- and aq. NaOH to give 59% I [R = Me].

IT **75-57-0**, Tetramethylammonium chloride
RL: CAT (Catalyst use); USES (Uses)
(prepn. of 4,6-bis(difluoromethoxy)**pyrimidines**)
RN 75-57-0 HCAPLUS
CN Methanaminium, N,N,N-trimethyl-, chloride (9CI) (CA INDEX NAME)

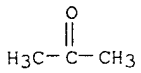


● Cl-

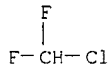
IT **100478-25-9P**, 4,6-Bis(difluoromethoxy)-2-**methylthiopyrimidine**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 4,6-bis(difluoromethoxy)**pyrimidines**)
RN 100478-25-9 HCAPLUS
CN Pyrimidine, 4,6-bis(difluoromethoxy)-2-(methylthio)- (9CI) (CA INDEX NAME)



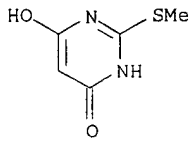
IT **67-64-1**, Acetone, uses
RL: NUU (Nonbiological use, unclassified); USES (Uses)
(prepn. of 4,6-bis(difluoromethoxy)**pyrimidines**)
RN 67-64-1 HCAPLUS
CN 2-Propanone (9CI) (CA INDEX NAME)



IT **75-45-6**, Chlorodifluoromethane **127697-72-7**
RL: RCT (Reactant)
(prepn. of 4,6-bis(difluoromethoxy)**pyrimidines**)
RN 75-45-6 HCAPLUS
CN Methane, chlorodifluoro- (8CI, 9CI) (CA INDEX NAME)



RN 127697-72-7 HCAPLUS
CN 4(1H)-Pyrimidinone, 6-hydroxy-2-(methylthio)-, disodium salt (9CI) (CA INDEX NAME)



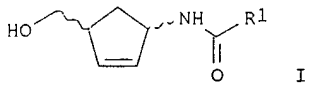
●2 Na

=> d bib abs hitstr l19 8

L19 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:805825 HCAPLUS
DN 128:32314
TI Process for the preparation of amino alcohols and derivatives thereof
IN Bernegger-Egli, Christine; Birch, Olwen M.; Bossard, Pierre; **Brieden, Walter**; Brux, Frank; Burgdorf, Knut; Duc, Laurent; Etter, Kay-Sarah; Guggisberg, Ives; et al.
PA Lonza A.-G., Switz.; Bernegger-Egli, Christine; Birch, Olwen M.; Bossard, Pierre; Brieden, Walter; Brux, Frank; Burgdorf, Knut; Duc, Laurent
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9745529	A1	19971204	WO 1997-EP2838	19970530
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2253977	AA	19971204	CA 1997-2253977	19970530
	AU 9731705	A1	19980105	AU 1997-31705	19970530
	EP 904348	A1	19990331	EP 1997-927092	19970530
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
	CN 1220695	A	19990623	CN 1997-195182	19970530
	JP 2000512488	T2	20000926	JP 1997-541630	19970530
PRAI	CH 1996-1359		19960530		
	CH 1997-282		19970210		
	CH 1997-908		19970418		
	WO 1997-EP2838		19970530		

OS MARPAT 128:32314
GI



I

AB The invention relates to novel microorganisms which are capable of utilizing cyclopentene derivs. of the general formula (I), in which R1 is C1-C4-alkyl, C1-C4-alkoxy, aryl or aryloxy, as the only N source, as the only C source or as the only C and O source. The invention also relates to novel enzymes which hydrolyze the cyclopentene derivs. of the general formula I. The invention also relates to a novel process for the prepn. of (1R,4S) or (1S,4R)-1-amino-4(hydroxymethyl)-2-cyclopentene and/or of a (1S,4R) or (1R,4S)-amino alc. deriv. in which R1 has the above meaning.

IT **9012-56-0P**, Amidase
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); CAT (Catalyst use); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(prepn. of amino alcs. and derivs. thereof from azabicycloheptenones and microbial metab. of the products)

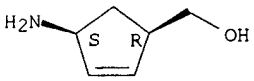
RN 9012-56-0 HCAPLUS
CN Amidase (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **136522-30-0P 136522-35-5P**

RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of amino alcs. and derivs. thereof from azabicycloheptenones and microbial metab. of the products)

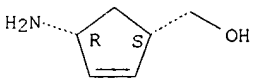
RN 136522-30-0 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-amino-, (1R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



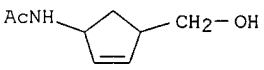
RN 136522-35-5 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-amino-, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

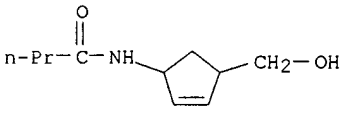


IT **199395-80-7P 199395-81-8P 199395-82-9P**
199395-83-0P 199395-84-1P 199395-85-2P
RL: BPR (Biological process); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(prepn. of amino alcs. and derivs. thereof from azabicycloheptenones and microbial metab. of the products)

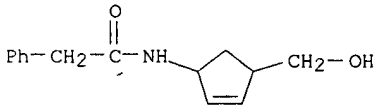
RN 199395-80-7 HCAPLUS
CN Acetamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)



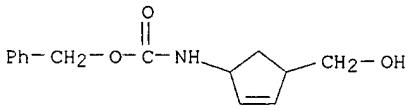
RN 199395-81-8 HCAPLUS
CN Butanamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)



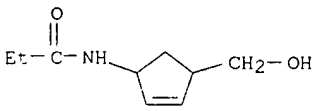
RN 199395-82-9 HCAPLUS
CN Benzeneacetamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)



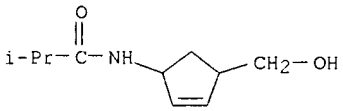
RN 199395-83-0 HCAPLUS
CN Carbamic acid, [4-(hydroxymethyl)-2-cyclopenten-1-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 199395-84-1 HCAPLUS
CN Propanamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)

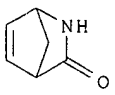


RN 199395-85-2 HCAPLUS
CN Propanamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

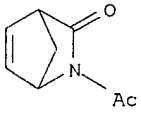


IT **9015-68-3,** Asparaginase
RL: CAT (Catalyst use); USES (Uses)
(prepn. of amino alcs. and derivs. thereof from azabicycloheptenones and microbial metab. of the products)
RN 9015-68-3 HCAPLUS
CN Asparaginase (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **49805-30-3,** 2-Azabicyclo[2.2.1]hept-5-en-3-one
RL: RCT (Reactant)
(prepn. of amino alcs. and derivs. thereof from azabicycloheptenones and microbial metab. of the products)
RN 49805-30-3 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one (9CI) (CA INDEX NAME)

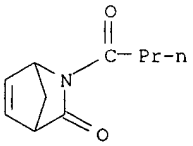


IT **162307-09-7P 199395-75-0P 199395-76-1P**
199395-77-2P 199395-78-3P 199395-79-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of amino alcs. and derivs. thereof from azabicycloheptenones and microbial metab. of the products)
RN 162307-09-7 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-acetyl- (9CI) (CA INDEX NAME)

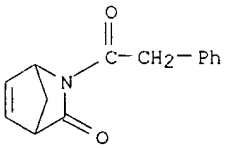


RN 199395-75-0 HCAPLUS

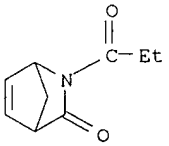
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(1-oxobutyl)- (9CI) (CA INDEX NAME)



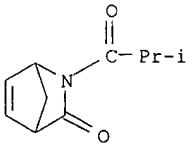
RN 199395-76-1 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(phenylacetyl)- (9CI) (CA INDEX NAME)



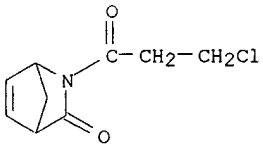
RN 199395-77-2 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(1-oxopropyl)- (9CI) (CA INDEX NAME)



RN 199395-78-3 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

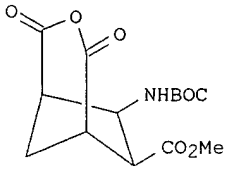


RN 199395-79-4 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(3-chloro-1-oxopropyl)- (9CI) (CA INDEX NAME)

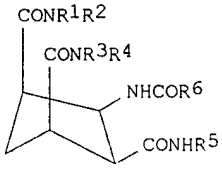


=> d bib abs hitstr l76 1

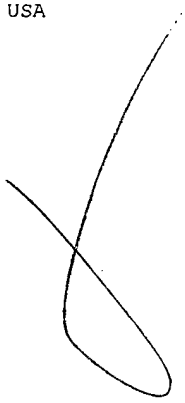
L76 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1995:272286 HCAPLUS
DN 122:132622
TI All-cis cyclopentane scaffolding for combinatorial solid phase synthesis of small non-peptide compounds
AU Patek, Marcel; Drake, Brian; Lebl, Michal
CS Selectide Corporation, Tucson, AZ, 85737, USA
SO Tetrahedron Lett. (1994), 35(49), 9169-72
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 122:132622
GI



I



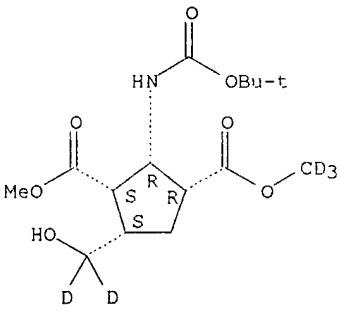
II



AB A convenient synthesis of all-cis cyclopentane template I from com. available **anhydride** (3a.alpha.,4.beta.,7.beta.,7a.alpha.)-3a,4,7,7a-Tetrahydro-4,7-methanoisobenzofuran-1,3-dione was described. Regioselective conversion of the **anhydride** I to functionalized cyclopentanes II with a range of nucleophiles, as well as the regiochem. assignment of the major regioisomer were discussed.

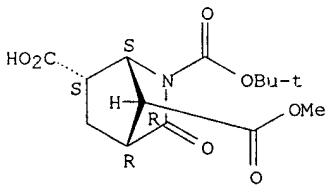
IT **160849-73-0P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. of)
RN 160849-73-0 HCAPLUS
CN 1,3-Cyclopentanedicarboxylic acid, 2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-(hydroxymethyl-d2)-, 3-methyl 1-(methyl-d3) ester, (1.alpha.,2.alpha.,3.alpha.,4.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **160849-76-3P**
RL: BYP (Byproduct); PREP (Preparation)
(prepn. of all-cis cyclopentane scaffold for solid phase synthesis of nonpeptides)
RN 160849-76-3 HCAPLUS
CN 2-Azabicyclo[2.2.1]heptane-2,6,7-tricarboxylic acid, 3-oxo-, 2-(1,1-dimethylethyl) 7-methyl ester, (endo,syn)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

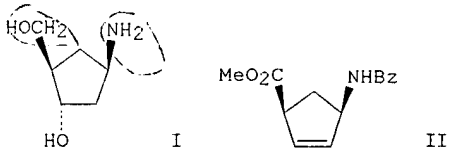


=> d bib abs hitstr l76 2

L76 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1994:434863 HCAPLUS
DN 121:34863
TI Five-step preparation of [1S(1.alpha.,2.beta.,4.beta.)]-4-amino-2-(hydroxymethyl)-1-cyclopentanol
IN Tapolczay, David Joszef; Meerholz, Clive Alwin; Turnbull, John Peter; Halter, Bernard Charles; Schilling, Mark Brian
PA Glaxo Group Ltd., UK
SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9404486	A1	19940303	WO 1993-EP2219	19930819
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 655994	A1	19950607	EP 1993-919103	19930819
EP 655994	B1	19970618		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08500583	T2	19960123	JP 1993-505904	19930819
AT 154589	E	19970715	AT 1993-919103	19930819
ES 2105312	T3	19971016	ES 1993-919103	19930819
US 5659075	A	19970819	US 1995-387834	19950406

PRAI GB 1992-17823 19920821
WO 1993-EP2219 19930819
OS MARPAT 121:34863
GI

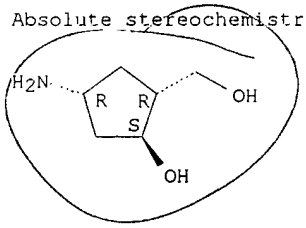


AB The title compd., I (or its salts), is prepd. by treating anilide II with a base, treating the intermediate with a Lewis acid (e.g., AlCl3) followed by redn. with a **hydride** reducing agent [e.g., HAl(Bu-iso)2], protecting the methylol intermediate, treating the protected intermediate with a hindered hydroborating agent (e.g., disiamylborane) capable of complexing to a tertiary amide followed by peroxide (e.g., H2O2) oxidn., and deprotecting the protected methylol intermediate.

IT **100018-56-2P 155750-92-8P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(5-step prepn. of)

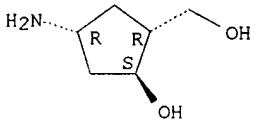
RN 100018-56-2 HCAPLUS
CN Cyclopentanemethanol, 4-amino-2-hydroxy-, (1R,2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



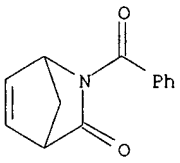
RN 155750-92-8 HCAPLUS
CN Cyclopentanemethanol, 4-amino-2-hydroxy-, hydrochloride, [1R-(1.alpha.,2.beta.,4.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



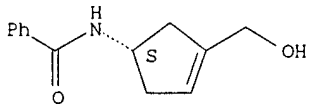
● HCl

IT **61865-48-3P 155694-96-5P 155694-98-7P**
155750-91-7P
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. and reaction of, in prepn. of chiral amino(hydroxymethyl)cyclopentanol)
RN 61865-48-3 HCAPLUS
RN 155694-96-5 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-benzoyl- (9CI) (CA INDEX NAME)



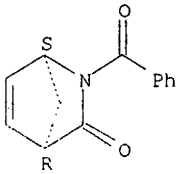
RN 155694-98-7 HCAPLUS
CN Benzamide, N-[3-(hydroxymethyl)-3-cyclopenten-1-yl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 155750-91-7 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-benzoyl-, (1S)- (9CI) (CA INDEX NAME)

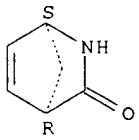
Absolute stereochemistry. Rotation (-).



IT **130931-83-8**
RL: RCT (Reactant)
(reaction of, in prepn. of chiral amino(hydroxymethyl)cyclopentanol)
RN 130931-83-8 HCAPLUS

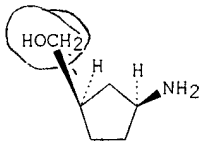
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

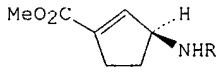


=> d bib abs hitstr l76 3

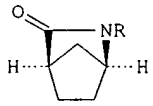
L76 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1993:449814 HCAPLUS
DN 119:49814
TI Chirospecific synthesis of (1S,3R)-1-amino-3-(hydroxymethyl)cyclopentane, precursor for carbocyclic nucleoside synthesis. Dieckmann cyclization with an .alpha.-amino acid
AU Bergmeier, Stephen C.; Cobas, Agustin A.; Rapoport, Henry
CS Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
SO J. Org. Chem. (1993), 58(9), 2369-76
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 119:49814
GI



I



III

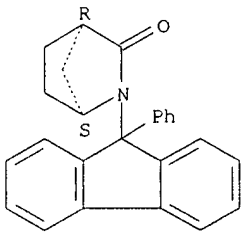


IV

AB A new method for the stereospecific synthesis of the title compd. (I) is reported. I is a key precursor for the synthesis of some carbocyclic nucleosides. The method involves (1) an improved synthesis of (S)-2-aminoadipic acid; (2) Dieckmann cyclization of this .alpha.-amino acid to an aminocyclopentanone; and (3) elaboration of the latter to the target I. The starting (S)-2-aminoadipic acid .delta.-Me ester (II) was prepd. enantiomerically pure from L-aspartic acid in 51% overall yield. Dieckmann condensation converted II to a (methoxycarbonyl)cyclopentanone, and redn. of the ketone followed by elimination yielded cyclopentenecarboxylate III (R = 9-phenyl-9-fluorenyl). Redn. of the double bond gave a mixt. of the cis and trans diastereomers, which was converted to a single diastereomer by epimerization and trapping of the cis isomer as the bicyclic lactam IV. Hydrolytic cleavage of IV followed by redn. gave I.

IT **147698-14-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acidic ring opening of)
RN 147698-14-4 HCAPLUS
CN 2-Azabicyclo[2.2.1]heptan-3-one, 2-(9-phenyl-9H-fluoren-9-yl)-, (1S)- (9CI) (CA INDEX NAME)

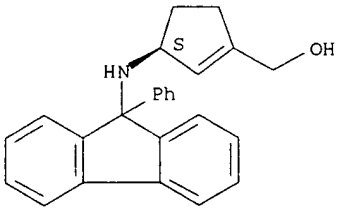
Absolute stereochemistry.



IT **147698-12-2P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. and double bond **redn.** of, stereochem. of)
RN 147698-12-2 HCAPLUS
CN 1-Cyclopentene-1-methanol, 3-[(9-phenyl-9H-fluoren-9-yl)amino]-, (S)-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

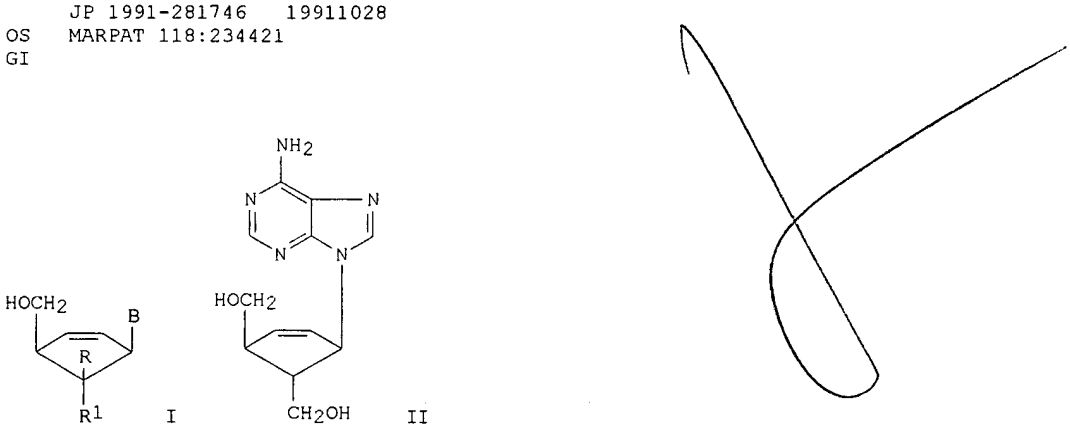


=> d bib abs hitstr l76 4

L76 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1993:234421 HCAPLUS
DN 118:234421
TI Cyclopentene derivatives and their use
IN Kaneoko, Chikara; Katagiri, Nobuya; Tsuruo, Takashi
PA Japanese Foundation for Cancer Research, Japan; Takeda Chemical Industries, Ltd.
SO Can. Pat. Appl., 71 pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2055086	AA	19920813	CA 1991-2055086	19911106
	JP 06206880	A2	19940726	JP 1991-281745	19911028
	JP 05178746	A2	19930720	JP 1992-25536	19920212
	JP 06199812	A2	19940719	JP 1992-25535	19920212
PRAI	JP 1991-18913		19910212		
	JP 1991-18914		19910212		
	JP 1991-281745		19911028		
	JP 1991-281746		19911028		

OS MARPAT 118:234421
GI

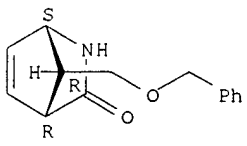


AB Nucleoside analogs I [B = purine or pyrimidine base; R = H, R1 = (un)protected CH2OH; R = (un)protected CH2OH, R1 = H] were prepd. Thus, the analog II was prepd. from cyclopentadienyllithium, ClCH2OCH2Ph, and 4-MeC6H4SO2CN in 8 steps. II had an anti-HIV-1 ED50 of 0.355 .mu.g/mL.

IT **147420-89-1P 147420-90-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with chloroformate)

RN 147420-89-1 HCAPLUS
RN 147420-90-4 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 7-[(phenylmethoxy)methyl]-, syn- (9CI) (CA INDEX NAME)

Relative stereochemistry.

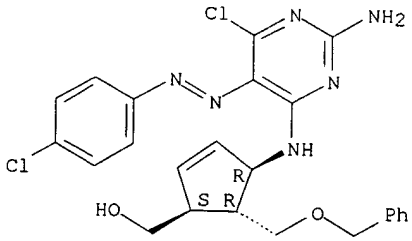


IT **140440-42-2P 147420-91-5P 147420-92-6P**
147420-93-7P 147513-76-6P

RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. and **redn.** of)

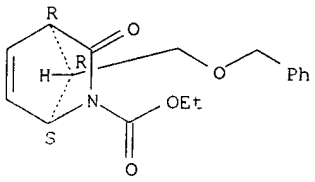
RN 140440-42-2 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-[[2-amino-6-chloro-5-[(4-chlorophenyl)azo]-4-pyrimidinyl]amino]-5-[(phenylmethoxy)methyl]-, (1.alpha.,4.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



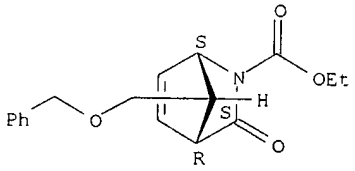
RN 147420-91-5 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-ene-2-carboxylic acid, 3-oxo-7-[(phenylmethoxy)methyl]-, ethyl ester, syn- (9CI) (CA INDEX NAME)

Relative stereochemistry.



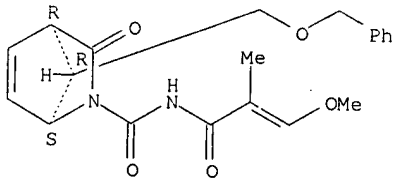
RN 147420-92-6 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-ene-2-carboxylic acid, 3-oxo-7-[(phenylmethoxy)methyl]-, ethyl ester, anti- (9CI) (CA INDEX NAME)

Relative stereochemistry.



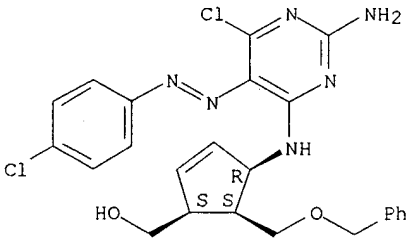
RN 147420-93-7 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-ene-2-carboxamide, N-(3-methoxy-2-methyl-1-oxo-2-propenyl)-3-oxo-7-[(phenylmethoxy)methyl]-, syn- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

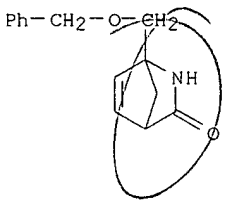


RN 147513-76-6 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-[[2-amino-6-chloro-5-[(4-chlorophenyl)azo]-4-pyrimidinyl]amino]-5-[(phenylmethoxy)methyl]-, (1.alpha.,4.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

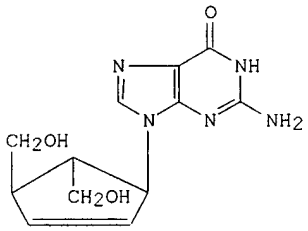


IT **147420-88-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 147420-88-0 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 1-[(phenylmethoxy)methyl]- (9CI) (CA INDEX NAME)

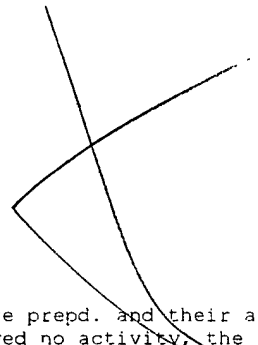


=> d bib abs hitstr l76 5

L76 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1992:255951 HCAPLUS
DN 116:255951
TI Synthesis and anti-HIV activity of 9-[c-4,t-5-bis(hydroxymethyl)cyclopent-2-en-r-1-yl]-9H-adenine
AU Katagiri, Nobuya; Nomura, Masahiro; Sato, Hiroshi; Kaneko, Chikara; Yusa, Keisuke; Tsuruo, Takashi
CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SO J. Med. Chem. (1992), 35(10), 1882-6
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



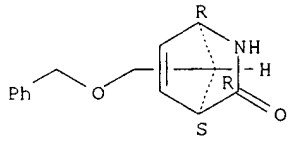
II



AB Title compd. (I) and its guanine analog II were prepd. and their anti-HIV activity was tested in vitro. Whereas II showed no activity, the therapeutic index of I was 200 and comparable to that (400) of carbovir. One enantiomer of I may be viewed as an analog of carbocyclic oxetanocin and the other as an analog of carbovir. Hence, these results indicate that one or both of the individual enantiomers of I could serve as candidates or lead compds. for the development of anti-AIDS agents.

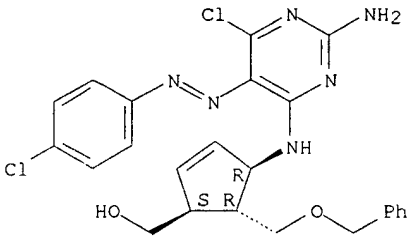
IT **140440-30-8**
RL: RCT (Reactant)
(of prepn. and reaction of, with Et chloroformate)
RN 140440-30-8 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 7-[(phenylmethoxy)methyl]-, anti- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **140440-33-1P 140440-42-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. and **redn.** of)
RN 140440-33-1 HCAPLUS
RN 140440-42-2 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-[[2-amino-6-chloro-5-[(4-chlorophenyl)azo]-4-pyrimidinyl]amino]-5-[(phenylmethoxy)methyl]-, (1.alpha.,4.alpha.,5.beta.)- (9CI) (CA INDEX NAME)

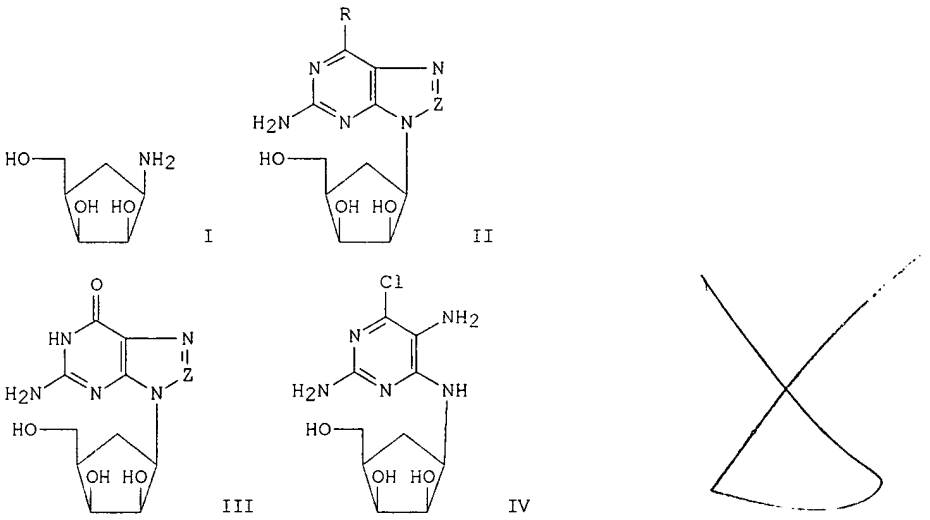
Relative stereochemistry.
Double bond geometry unknown.



IT **140440-31-9P 140440-32-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 140440-31-9 HCAPLUS
RN 140440-32-0 HCAPLUS

=> d bib abs hitstr l76 6

L76 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1990:139727 HCAPLUS
DN 112:139727
TI Synthesis and biological evaluation of carbocyclic analogs of lyxofuranosides of 2-amino-6-substituted purines and 2-amino-6-substituted-8-azapurines
AU Peterson, Mark L.; Vince, Robert
CS Coll. Pharm., Univ. Minnesota, Minneapolis, MN, 55455, USA
SO J. Med. Chem. (1990), 33(4), 1214-19
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 112:139727
GI

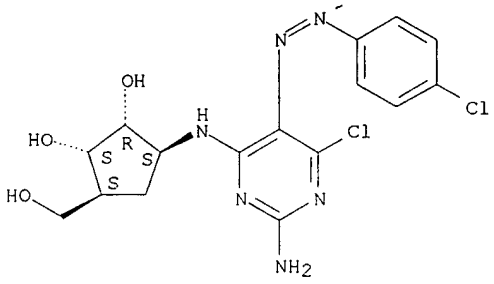


AB Carbocyclic analogs of lyxofuranosides of 2-amino-6-substituted purines and 2-amino-6-substituted-8-azapurines were synthesized from (.+-.)-amino(hydroxymethyl)cyclopentanediol I and 2-amino-4,6-dichloropyrimidine. The 2-amino-6-chloropurine (II;R = Cl, Z = CH, N), the 2,6-diaminopurine (II; R = NH2, Z = CH, N), as well as the guanine (III;Z = CH) and 8-azaguanine (III; Z = N) derivs. were all constructed from the key intermediate (.+-.)-[(diaminochloropyrimidinyl)amino](hydroxy methyl)cyclopentanediol (IV) by using established methodol. II and III were evaluated for both antitumor and antiviral activity. None of these materials exhibited appreciable activity against P-388 mouse leukemia cells in vitro. All of these analogs were investigated for activity vs. herpes simplex virus, type 1 (HSV-1) and influenza virus (IV-A), as well as the human immunodeficiency virus (HIV). Against HSV-1, only III (Z = CH), the carbocyclic analog of the lyxofuranoside of guanine, exhibited significant activity, yielding a virus rating (VR) of 2.1. The corresponding 2,6-diamino compd. II (R = NH2, Z = CH) demonstrated marginal activity, VR = 0.6, against that virus. The test compds. failed to exhibit inhibition of either IV-A or HIV. Addnl. III (Z = CH) was tested against human cytomegalovirus (HCMV) and was found to display a definite activity at concns. as low as 32 .mu.M.

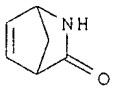
IT **50796-89-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**

(prepn. and **reductive** cleavage of)
RN 50796-89-9 HCAPLUS
CN 1,2-Cyclopentanediol, 3-[[2-amino-6-chloro-5-[(4-chlorophenyl)azo]-4-pyrimidinyl]amino]-5-(hydroxymethyl)-, (1.alpha.,2.alpha.,3.beta.,5.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



IT **49805-30-3**, 2-Azabicyclo[2.2.1]hept-5-en-3-one
RL: RCT (Reactant)
(synthon for lyxofuranoside analogs of nucleosiodes)
RN 49805-30-3 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one (9CI) (CA INDEX NAME)

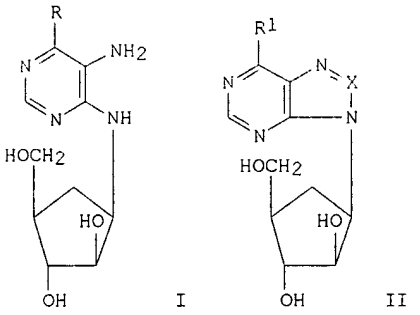


=> d bib abs hitstr l76 7

L76 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1979:168938 HCAPLUS
DN 90:168938
TI Adenosine deaminase-resistant antiviral purine nucleosides
IN Vince, Robert
PA University of Minnesota, USA
SO U.S., 6 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

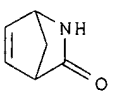
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4138562	A	19790206	US 1977-766947	19770209
	US 4223156	A	19800916	US 1978-972469	19781222
	US 4268672	A	19810519	US 1979-1072	19790105
	US 4383114	A	19830510	US 1981-301399	19810911
PRAI	US 1977-766947	19770209			
	US 1979-1072	19790105			
	US 1980-181382	19800822			

GI



AB Cyclopentylaminopyrimidines I (R = halo) were prepd. as intermediates for the synthesis of nucleoside analogs II (R1 = NH2, SH, SMe, OH, halo, or NR2R3; R2, R3 = H, Me, Et, Pr, Ph; X = CH, N). Thus, (+)-4.alpha.-amino-2.beta.,3.alpha.-dihydroxy-1.alpha.-cyclopentanemethanol, prepd. in 5 steps from 2-azabicyclo[2.2.1]hept-5-en-3-one, was treated with 5-amino-4,6-dichloropyrimidine to give I (R = Cl), which was cyclized with CH(OEt)3 and the resultant purine was treated with NH3 to give II (R1 = NH2; X = CH) (III). II are useful as antiviral and antitumor agents, e.g., III had virus rating of 1.5-3.5 and MED50 .apprx.9 .mu.g/mL against Herpes simplex virus type 1 and vaccinia virus.

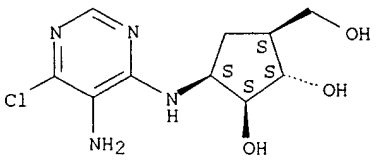
IT **49805-30-3**
RL: RCT (Reactant)
(hydrolysis of)
RN 49805-30-3 HCAPLUS
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one (9CI) (CA INDEX NAME)



IT **62413-50-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**

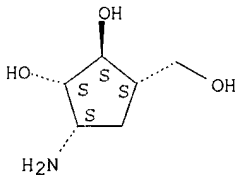
(prepn. and cyclization of, nucleoside analogs from)
RN 62413-50-7 HCAPLUS
CN 1,2-Cyclopentanediol, 3-[(5-amino-6-chloro-4-pyrimidinyl)amino]-5-(hydroxymethyl)-, (1.alpha.,2.beta.,3.beta.,5.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



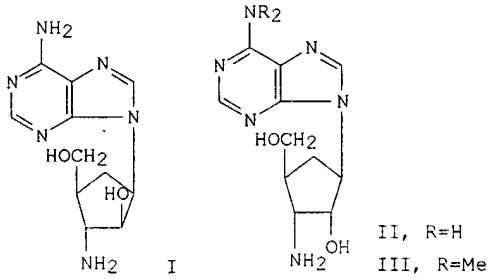
IT **69979-44-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. and reaction of, with aminodichloropyrimidine)
RN 69979-44-8 HCAPLUS
CN 1,2-Cyclopentanediol, 3-amino-5-(hydroxymethyl)-, [1S-(1.alpha.,2.beta.,3.beta.,5.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



=> d bib abs hitstr l76 8

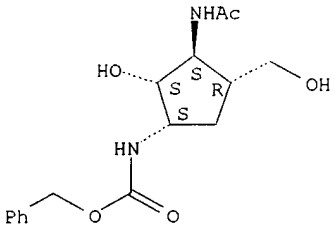
L76 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2000 ACS
AN 1978:424684 HCAPLUS
DN 89:24684
TI Synthesis of carbocyclic aminonucleosides
AU Daluge, Susan; Vince, Robert
CS Coll. Pharm., Univ. Minnesota, Minneapolis, Minn., USA
SO J. Org. Chem. (1978), 43(12), 2311-20
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
GI



AB Racemic carbocyclic nucleosides I, II, and III were prepd. Acidic hydrolysis of 2-azabicyclo[2.2.1]hept-5-en-3-one, followed by esterification and acetylation, gave Me cis-4-acetamidocyclopent-2-ene-1-carboxylate, which on redn. with calcium **borohydride** gave, after acetylation, cis-4-acetamidocyclopent-2-ene-1-Me acetate (IV). Epoxidn. of IV gave only the cis-epoxide, which was opened with NaN3 to give, after acetylation, 4.alpha.-acetamido-3.alpha.-acetoxy-2.beta.-azido-1.alpha.-cyclopentanemethyl acetate, which on catalytic hydrogenation followed by acetylation, gave 3.alpha.-acetoxy-2.beta.,4.alpha.-diacetamido-1.alpha.-cyclopentanemethyl acetate (V). Selective hydrolysis of the 4-acetamido group of V and formation of the purine moiety at this position, followed by hydrolysis of the remaining acetamido group, gave I. Epimerization at C-2' gave access to II and III. In vitro screening indicates that II has significant antiviral activity.

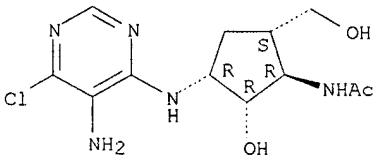
IT **61865-48-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acid hydrolysis of)
RN 61865-48-3 HCAPLUS
IT **61865-63-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. and cyclization of)
RN 61865-63-2 HCAPLUS
CN Carbamic acid, [3-(acetylamino)-2-hydroxy-4-(hydroxymethyl)cyclopentyl]-, phenylmethyl ester, (1.alpha.,2.alpha.,3.beta.,4.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



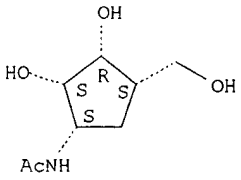
IT **61865-56-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
(Preparation)
(prepn. and cyclization of, with diethoxymethyl acetate)
RN 61865-56-3 HCAPLUS
CN Acetamide, N-[3-[(5-amino-6-chloro-4-pyrimidinyl)amino]-2-hydroxy-5-(hydroxymethyl)cyclopentyl]-, (1.alpha.,2.beta.,3.beta.,5.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



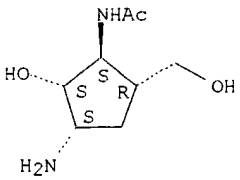
IT **65941-41-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
(Preparation)
(prepn. and oxidn. of)
RN 65941-41-5 HCAPLUS
CN Acetamide, N-[2,3-dihydroxy-4-(hydroxymethyl)cyclopentyl]-, (1.alpha.,2.alpha.,3.alpha.,4.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



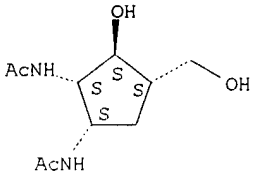
IT **61865-55-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
(Preparation)
(prepn. and reaction of, with carbobenzoxy chloride)
RN 61865-55-2 HCAPLUS
CN Acetamide, N-[3-amino-2-hydroxy-5-(hydroxymethyl)cyclopentyl]-, (1.alpha.,2.beta.,3.beta.,5.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



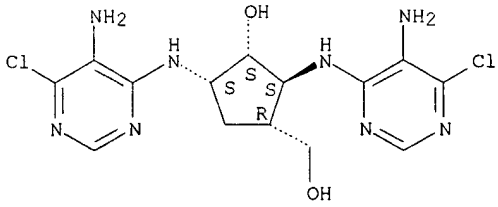
IT **61865-67-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. and reaction of, with methoxytrityl chloride)
RN 61865-67-6 HCAPLUS
CN Acetamide, N,N'-[3-hydroxy-4-(hydroxymethyl)-1,2-cyclopentanediyl]bis-, (1.alpha.,2.alpha.,3.beta.,4.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



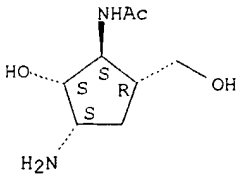
IT **65898-95-5P 65941-42-6P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. of)
RN 65898-95-5 HCAPLUS
CN Cyclopentanemethanol, 2,4-bis[(5-amino-6-chloro-4-pyrimidinyl)amino]-3-hydroxy-, (1.alpha.,2.beta.,3.alpha.,4.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 65941-42-6 HCAPLUS
CN Acetamide, N-[3-amino-2-hydroxy-5-(hydroxymethyl)cyclopentyl]-, monohydrochloride, (1.alpha.,2.beta.,3.beta.,5.beta.)- (9CI) (CA INDEX NAME)

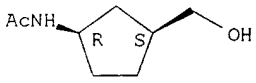
Relative stereochemistry.



● HCl

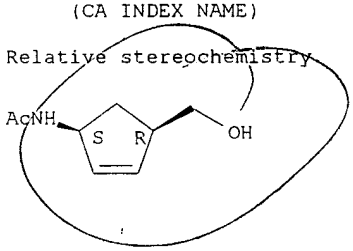
IT **65898-97-7P 65942-42-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**
(prepn., acetylation, and benzoylation of)
RN 65898-97-7 HCAPLUS
CN Acetamide, N-[3-(hydroxymethyl)cyclopentyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 65942-42-9 HCAPLUS
CN Acetamide, N-[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, rel- (9CI) (CA INDEX NAME)

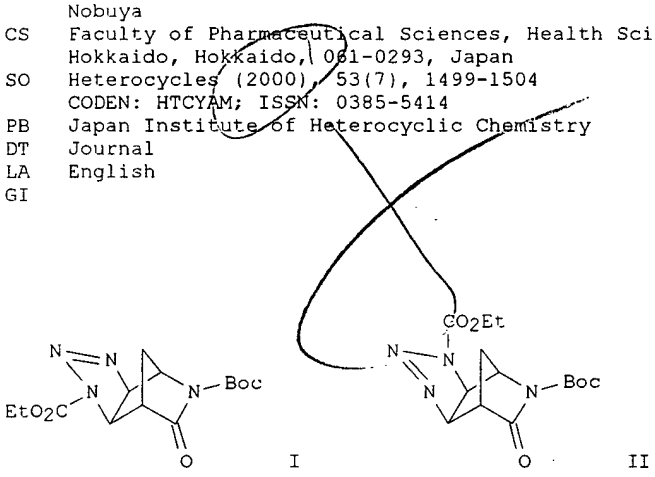
Relative stereochemistry.



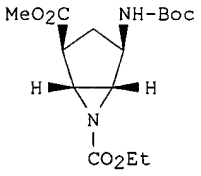
Nothing 102
Maybe 103

=> d bib abs fcrdref l34 1

L34 ANSWER 1 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 133:252263 CASREACT
TI A concise access to 6-azabicyclo[3.1.0]hexanes via high-pressure promoted cycloaddition reaction of azides to ABH
AU Ishikura, Minoru; Kudo, Sayoko; Hino, Ayako; Ohnuki, Nobuyuki; Katagiri, Nobuya
CS Faculty of Pharmaceutical Sciences, Health Sciences University of Hokkaido, Hokkaido, 061-0293, Japan
SO Heterocycles (2000), 53(7), 1499-1504
CODEN: HTCYAM; ISSN: 0385-5414
PB Japan Institute of Heterocyclic Chemistry
DT Journal
LA English
GI



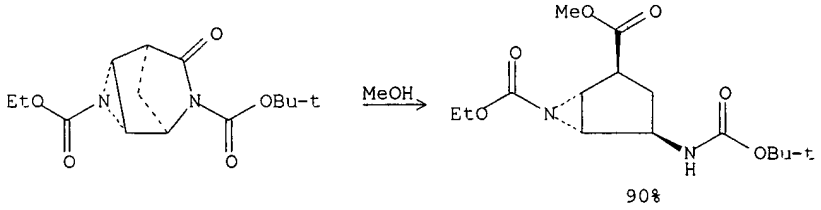
I II



III

AB Cycloaddn. reaction of electron-deficient azides, e.g. EtO2CN3, to 2-azabicyclo[2.2.1]hept-5-en-3-ones (ABH) was accelerated by high-pressure, leading to mixts. of regioisomeric triazolines, e.g. I and II, in good yields. These triazolines were, through photolysis and ring opening sequences, converted to 6-azabicyclo[3.1.0]hexanes, e.g. III.

RX(8) OF 21



90%

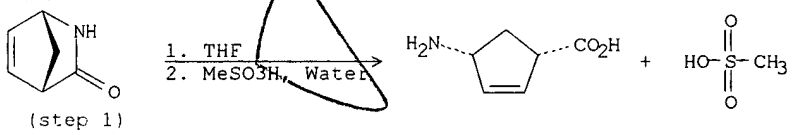
REF: Heterocycles, 53(7), 1499-1504; 2000

OF 22 CASREACT COPYRIGHT 2000 ACS
RE.CNT 16
RE
(1) Altmann, K; Tetrahedron Lett 1994, V35, P2331 CAPLUS
(2) Altmann, K; Tetrahedron Lett 1994, V35, P7625 CAPLUS
(3) Anderson, G; J Org Chem 1991, V56, P6946 CAPLUS
(4) Chang, H; J Org Chem 1994, V59, P5336 CAPLUS
(5) Chun, B; J Org Chem 2000, V65, P685 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs fcrdref l34 2

L34 ANSWER 2 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 132:334717 CASREACT
TI An efficient, scalable synthesis of the HIV reverse transcriptase inhibitor ziagen (1592U89)
AU Daluge, Susan M.; Martin, Michael T.; Sickles, Barry R.; Livingston, Douglas A.
CS Division of Medicinal Chemistry, Glaxo Wellcome Inc., Research Triangle Park, NC, 27709, USA
SO Nucleosides, Nucleotides Nucleic Acids (2000), 19(1 & 2), 297-327
CODEN: NNNAFY; ISSN: 1525-7770
PB Marcel Dekker, Inc.
DT Journal
LA English
AB Ziagen, (1S,cis)-4-[2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol, was synthesized from (1S,4R)-azabicyclo[2.2.1]hept-5-en-3-one by efficient processes which bypass problematic steps in earlier routes. 2-Amino-4,6-dichloro-5-formamidopyrimidine is a key intermediate which makes possible an efficient construction of the purine from a chiral cyclopentenyl precursor.

RX(1) OF 179



(step 1)

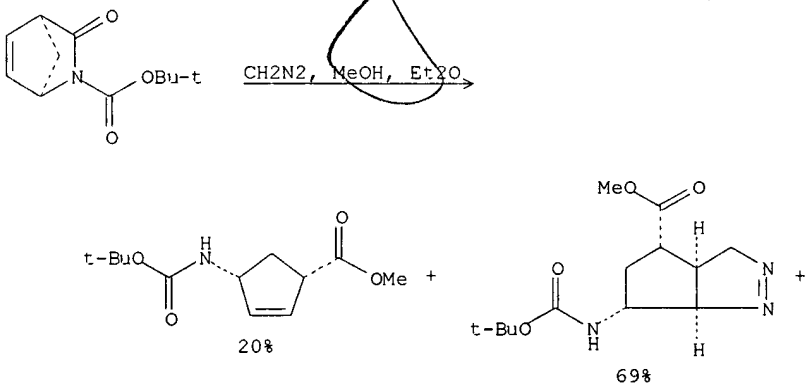
REF: Nucleosides, Nucleotides Nucleic Acids, 19(1 & 2), 297-327; 2000

OF 22 CASREACT COPYRIGHT 2000 ACS
RE.CNT 53
RE
(1) Agrofoglio, L; Tetrahedron 1994, V50, P10611 CAPLUS
(10) Crimmins, M; J Org Chem 1996, V61, P4192 CAPLUS
(11) Crimmins, M; Tetrahedron 1998, V54, P9229 CAPLUS
(12) Daluge, S; EP 349242 1990 CAPLUS
(13) Daluge, S; US 5206435 1993 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

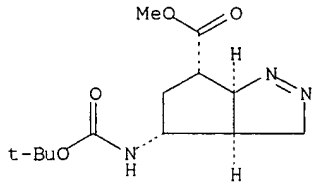
=> d bib abs fcrdref l34 3

L34 ANSWER 3 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 132:279439 CASREACT
TI Synthesis of carbocyclic nucleosides bearing a cyclopropane ring
AU Yamatoya, Yoshitsugu; Ishikura, Minoru; Katagiri, Nobuya
CS Faculty of Pharmaceutical Sciences, Health Sciences University of Hokkaido, Hokkaido, 061-0293, Japan
SO Nucleic Acids Symp. Ser. (1999), 42(Twentysixth Symposium on Nucleic Acids Chemistry, 1999), 23-24
CODEN: NACSD8; ISSN: 0261-3166
PB Oxford University Press
DT Journal
LA English
AB A carbocyclic cyclopropane fused nucleoside, 9-(c-4-hydroxy-methylbicyclo[3.1.0]hex-r-2-yl)-9H-adenine, has been efficiently synthesized from 2-azabicyclo-[2.2.1]hex-5-en-3-one(ABH) in 6 steps, namely cyclopropanation, reductive amide cleavage (RAC) reaction and adenine ring construction.

RX(1) OF 9



RX(1) OF 9



REF: Nucleic Acids Symp. Ser., 42(Twentysixth Symposium on Nucleic Acids Chemistry, 1999), 23-24; 1999

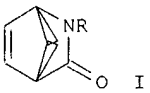
OF 22 CASREACT COPYRIGHT 2000 ACS
RE.CNT 6
RE
(1) Altmann, K; Tetrahedron Lett 1994, V35, P2331 CAPLUS
(2) Altmann, K; Tetrahedron Lett 1994, V35, P7625 CAPLUS
(3) Chang, H; J Org Chem 1994, V59, P5336 CAPLUS
(4) Daluge, S; Antimicrob Agents Chemother 1997, V41, P1082 CAPLUS
(5) Katagiri, N; Tetrahedron Lett 1989, V30, P1645 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs fcrdref l34 4

L34 ANSWER 4 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 130:208877 CASREACT
TI Process for preparing enantiomerically enriched N-derivatized lactams
IN Dawson, Michael John; Mahmoudian, Mahmoud; Wallis, Christopher John
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9910519	A1	19990304	WO 1998-EP5291	19980820
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9897386	A1	19990316	AU 1998-97386	19980820
	EP 1003903	A1	20000531	EP 1998-951307	19980820
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9810472	A	20000919	BR 1998-10472	19980820
	NO 9906368	A	20000221	NO 1999-6368	19991221
PRAI	GB 1997-17928		19970822		
	WO 1998-EP5291		19980820		

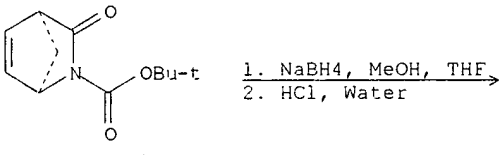
OS MARPAT 130:208877
GI



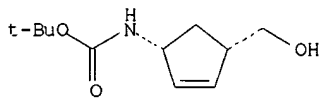
I

AB The present invention relates to a process for the prodn. of substantially enantiomerically pure intermediates of formula (I), wherein P is an activating and protecting group, from their racemates by treating the mixt. with an acylase enzyme derived from Bacillus sp.

RX(1) OF 4



(step 1)



REF: PCT Int. Appl., 9910519, 04 Mar 1999

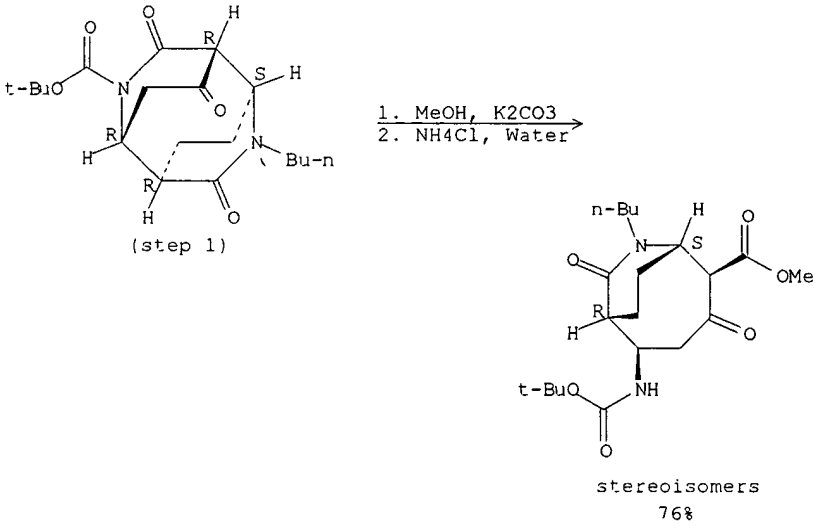
OF 22 CASREACT COPYRIGHT 2000 ACS
RE.CNT 5
RE

(1) Chiros Ltd; WO 9218477 A 1992 CAPLUS
(2) Enzymatix Ltd; EP 0424064 A 1991 CAPLUS
(3) Evans, C; J Chem Soc Perkin Trans I 1992, 5, P589 CAPLUS
(4) Nakano, H; Tetrahedron: Asymmetry 1994, V5(7), P1155 CAPLUS
(5) Nakano, H; Tetrahedron: Asymmetry 1996, V7(8), P2381 CAPLUS

=> d bib abs fcrdref l34 5

L34 ANSWER 5 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 130:196592 CASREACT
TI Selective Intermolecular Photo-[4+4]-cycloaddition with 2-Pyridone Mixtures. 3. Synthetic Transformations of the Trans Cross-Product (1.alpha.,2.beta.,5.beta.,6.alpha.)-3-Butyl-9-methoxy-3,7-diazatricyclo[4.2.2.22,5]dodeca-9,11-diene-4,8-dione
AU Sieburth, Scott McN.; Rucando, David; Lin, Chao-Hsiung
CS Department of Chemistry, State University of New York, Stony Brook, NY, 11794-3400, USA
SO J. Org. Chem. (1999), 64(3), 954-959
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
AB Transformations of a tricyclic product derived from [4+4] photocycloaddn. of N-butyl-2-pyridone with 4-methoxy-2-pyridone has demonstrated, for the first time, facile opening of the secondary lactam after activation of the amide nitrogen with a tert-Bu carboxylate (Boc) group. Methanolysis and lithium borohydride redn. both result in opening of the amide group under very mild conditions to ring-opened products. Concomitant redn. of a ketone derived from hydrolysis of the enol ether sets an addnl. stereogenic center in the reaction product with complete stereogenic control. These reactions illustrate the synthetic potential of the 2-pyridone photocycloaddn. products, generating a cyclooctene as a single isomer, with functionality at seven carbons and five stereogenic centers.

RX(11) OF 44



(step 1)

stereoisomers
76%

REF: J. Org. Chem., 64(3), 954-959; 1999

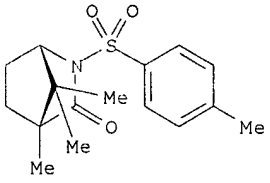
NOTE: stereoselective

OF 22 CASREACT COPYRIGHT 2000 ACS
RE.CNT 11
RE
(1) Flynn, D; J Org Chem 1983, V48, P2424 CAPLUS
(2) Frimer, A; Synthesis 1977, P578 CAPLUS
(5) Sieburth, S; J Am Chem Soc 1991, V113, P8163 CAPLUS
(6) Sieburth, S; J Am Chem Soc 1996, V118, P10803 CAPLUS
(7) Sieburth, S; J Org Chem 1994, V59, P80 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

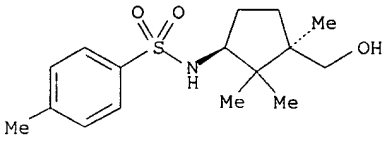
=> d bib abs fcrdref l34 6

L34 ANSWER 6 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 128:204622 CASREACT
TI Synthesis of (1R,3S)-3-amino-1,2,2-trimethylcyclopentylmethanol
AU Blanco, Jose M.; Caamano, Olga; Fernandez, Franco; Garcia-Mera, Xerardo; Rodriguez, Jose E.
CS Departamento de Quimica Organica, Facultad de Farmacia, Universidad de Santiago de Compostela, Santiago de Compostela, E-15706, Spain
SO Org. Prep. Proced. Int. (1998), 30(1), 71-78
CODEN: OPPIAK; ISSN: 0030-4948
PB Organic Preparations and Procedures, Inc.
DT Journal
LA English
AB The asym. synthesis of the title compd. was accomplished starting from D-(+)-camphoric anhydride via the corresponding camphoramic acid as chiral intermediate.

RX(7) OF 40



1. LiBH4, THF
2. Water, Et2O



(step 1)

74%

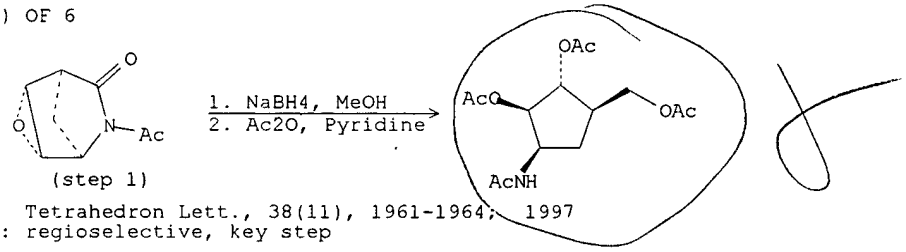
REF: Org. Prep. Proced. Int., 30(1), 71-78; 1998

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref 134 7

L34 ANSWER 7 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 126:293559 CASREACT
TI Synthesis of nucleosides and their related compounds. L. A highly efficient synthesis of the antiviral agent (+)-cyclaradine involving the regioselective cleavage of epoxide by neighboring participation
AU Katagiri, Nobuya; Matsuhashi, Yumiko; Kokufuda, Hideaki; Takebayashi, Masahiro; Kaneko, Chikara
CS Pharmaceutical Inst., Tohoku Univ., Sendai, 980-77, Japan
SO Tetrahedron Lett. (1997), 38(11), 1961-1964
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier
DT Journal
LA English
AB (+)-Cyclaradine, carbocyclic arabinofuranosyladenine having anti-HSV activity, has been synthesized from (-)-2-azabicyclo[2.2.1]hept-5-en-3-one in only seven steps. The method involves the novel ring cleavage of epoxide by neighboring participation.

RX(1) OF 6



(step 1)

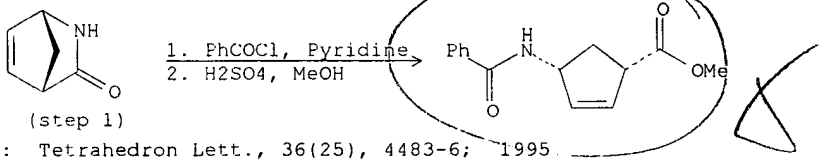
REF: Tetrahedron Lett., 38(11), 1961-1964, 1997
NOTE: regioselective, key step

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref l34 8

L34 ANSWER 8 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 123:313409 CASREACT
TI Improved procedures for the prepn. of (+)-(1R,2S,4R)-4-amino-2-hydroxy-1-hydroxymethylcyclopentane
AU Bray, Brian L.; Dolan, Simon C.; Halter, Bernard; Lackey, J. William; Schilling, Mark B.; Tapolczay, David J.
CS Synth. Org. Chem. Dep., Glaxo Res. Inc., Research Triangle Park, NC, 27709, USA
SO Tetrahedron Lett. (1995), 36(25), 4483-6
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
AB Two methods for the stereospecific synthesis of the title compd. are described.

RX(1) OF 30



(step 1)

REF: Tetrahedron Lett., 36(25), 4483-6; 1995
NOTE: stereoselective

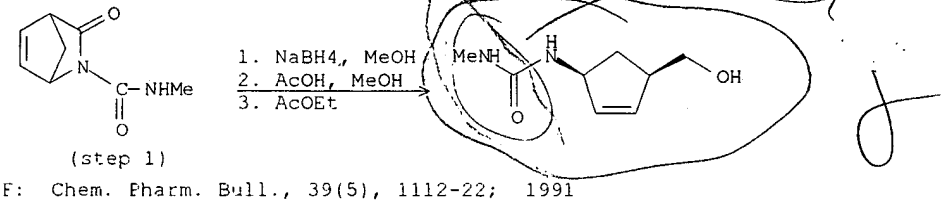
OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref l34 9

102

L34 ANSWER 9 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 123:199283 CASREACT
TI Synthesis of carbocyclic nucleosides from 2-azabicyclo[2.2.1]hept-5-en-3-ones: sodium borohydride mediated carbon-nitrogen bond cleavage of five- and six-membered lactams
AU Katagiri, Nobuya; Muto, Makoto; Nomura, Masahiro; Higashikawa, Tohru; Kaneko, Chikara
CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SO Chem. Pharm. Bull. (1991), 39(5), 1112-22
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
AB Various carbocyclic ribofuranosyl nucleosides were stereoselectively synthesized through a small no. of steps from 2-azabicyclo[2.2.1]hept-5-en--ones by the use of sodium borohydride-mediated C-N bond cleavage as a key step. Ready availability of a novel synthetic precursor, (.+-.)-4.beta.-hydroxymethyl-1.beta.-ureidocyclopentane-2.alpha.,3.alpha.-diol [(.+-.)-carbocyclic ribofuranosylurea], provides not only facile routes to carbocyclic ribofuranosylpryimidines, but also another route to the corresponding cyclopentylamine, (.+-.)-1.beta.-amino-4.beta.-hydroxymethylcyclopentane-2.alpha.,3.alpha.-diol [(.+-.)-carbocyclic ribofuranosylamine], which is useful for the synthesis of the corresponding purine nucleosides.

RX(6) OF 69



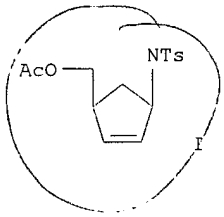
(step 1)

REF: Chem. Pharm. Bull., 39(5), 1112-22; 1991
NOTE: STEREOSELECTIVE

OF 22 CASREACT COPYRIGHT 2000 ACS

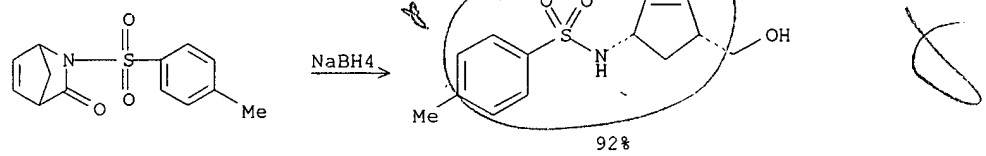
=> d bib abs fcrdref l34 10

L34 ANSWER 10 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 121:231246 CASREACT
TI .pi.-Allylpalladium Formation from Allylic Amines via N,N-Ditosylimides and N-Tosylamides: Efficient Synthesis of the Antiviral Agent Carbovir
AU Jung, Michael E.; Rhee, Hakjune
CS Department of Chemistry and Biochemistry, University of California, Los Angeles, CA, 90024, USA
SO J. Org. Chem. (1994), 59(17), 4719-20
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
GI



AB Allylic amines can be easily converted into their N,N-ditosylimides, e.g. I, or N-tosylamides which are sufficiently good leaving groups to afford .pi.-allylpalladium complexes and, hence, with nucleophiles, new allylic systems with retention of configuration. The synthetic utility of this process has been demonstrated by an efficient synthesis of the antiviral agent (.+-.)-carbovir from cyclopentadiene in only seven steps and 13% overall yield.

RX(3) OF 20

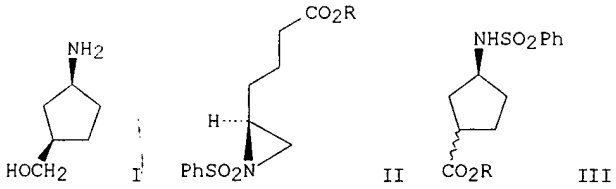


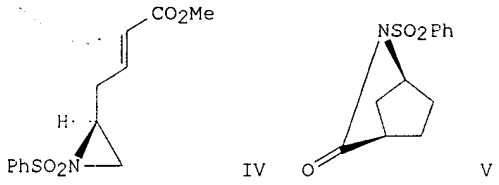
REF: J. Org. Chem., 59(17), 4719-20; 1994

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref 134 11

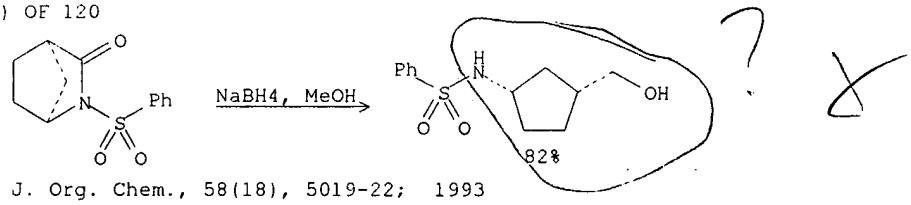
L34 ANSWER 11 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 119:271547 CASREACT
TI Chirospecific synthesis of (1S,3R)-1-amino-3-(hydroxymethyl)cyclopentane, a precursor for carbocyclic nucleoside synthesis. Intramolecular aziridine cyclizations
AU Bergmeier, Stephen C.; Lee, Won Koo; Rapoport, Henry
CS Dep. of Chem., Univ. California, Berkeley, CA, 94720, USA
SO J. Org. Chem. (1993), 58(18), 5019-22
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
GI





AB Carbocyclic nucleosides are important isosteres of nucleosides that possess a variety of antiviral and antineoplastic activities. A new method is reported for the chirospecific synthesis of (1S,3R)-1-amino-3-hydroxymethylcyclopentane (I), a key precursor for the synthesis of some carbocylic nucleosides. The method involves (1) the conversion of (S)-aspartic acid to aziridinoester II (R = Me, CMe3), (2) anionic cyclization of the ester onto the aziridine ring to form cyclopentane III, and (3) elaboration of the cyclopentane to the target (I). Also investigated was the deconjugative cyclization of an .alpha.,.beta.-unsatd. ester onto an aziridine (IV); however, this gave only diene. Aspartic acid was converted to (S)-tert-Bu N-(benzenesulfonyl)-5,6-aziridinohexanoate (II; R = CMe3) in 6 steps. Treatment of this aziridine with an amide base caused cyclization to a mixt. of cis and trans isomers III. This mixt. was converted to a single diastereomer by epimerization and trapping of the cis isomer as (1S,4R)-N-(benzenesulfonyl)-2-azabicyclo[2.2.1]heptan-3-one (V). Reductive cleavage of the imide followed by removal of the benzenesulfonyl group gave the title compd. I.

RX(10) OF 120

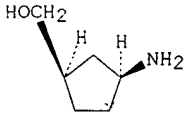


REF: J. Org. Chem., 58(18), 5019-22; 1993

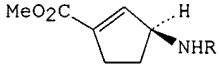
OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref l34 12

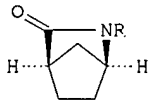
L34 ANSWER 12 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 119:49814 CASREACT
TI Chirospecific synthesis of (1S,3R)-1-amino-3-(hydroxymethyl)cyclopentane, precursor for carbocyclic nucleoside synthesis. Dieckmann cyclization with an .alpha.-amino acid
AU Bergmeier, Stephen C.; Cobas, Agustin A.; Rapoport, Henry
CS Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
SO J. Org. Chem. (1993), 58(9), 2369-76
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
GI



I



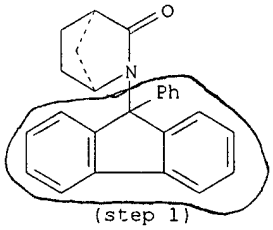
III



IV

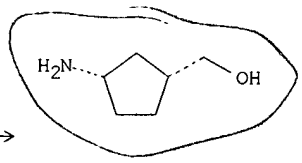
AB A new method for the stereospecific synthesis of the title compd. (I) is reported. I is a key precursor for the synthesis of some carbocyclic nucleosides. The method involves (1) an improved synthesis of (S)-2-aminoadipic acid; (2) Dieckmann cyclization of this .alpha.-amino acid to an aminocyclopentanone; and (3) elaboration of the latter to the target I. The starting (S)-2-aminoadipic acid .delta.-Me ester (II) was prepd. enantiomerically pure from L-aspartic acid in 51% overall yield. Dieckmann condensation converted II to a (methoxycarbonyl)cyclopentanone, and redn. of the ketone followed by elimination yielded cyclopentenecarboxylate III (R = 9-phenyl-9-fluorenyl). Redn. of the double bond gave a mixt. of the cis and trans diastereomers, which was converted to a single diastereomer by epimerization and trapping of the cis isomer as the bicyclic lactam IV. Hydrolytic cleavage of IV followed by redn. gave I.

RX(1) OF 80



(step 1)

1. AcOH, HCl, Water
2. Me2C(OMe)2, HCl, MeOH
3. LiAlH4, THF



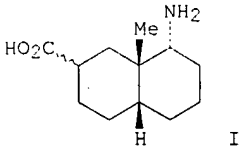
HCl
76%

REF: J. Org. Chem., 58(9), 2369-76; 1993

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref l34 13

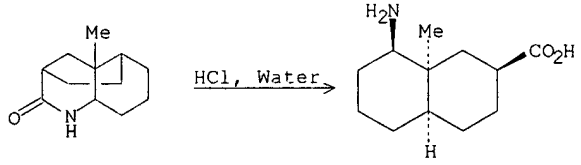
L34 ANSWER 13 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 117:130661 CASREACT
TI Design and synthesis of intramolecular ion-pairing cis-bicyclo[4.4.0]decane (cis-decalin) amino acids: conformation-based probes of electrostatic interactions in water
AU Beeson, Craig; Dix, Thomas A.
CS Dep. Chem. Biol. Chem., Univ. California, Irvine, CA, 92717, USA
SO J. Org. Chem. (1992), 57(16), 4386-94
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
GI



I

AB The design strategy and synthesis of cis-bicyclo[4.4.0]decane (cis-decalin) derivs. as conformation-based probes of electrostatic interactions in H2O are described. The mols. were designed so that formation of an intramol. electrostatic interaction occurs in only one of two low-energy conformers; hence, the conformational equil. of a given mol. is under control of the electrostatic interaction, which can be detd. accurately with NMR studies. The structural definition inherent to the mols. will enable the thermodn. and kinetics of solvent reorganization, which controls formation of electrostatic interactions in H2O, to be probed directly. The first probe, a cis-decalin amino acid I designed to evaluate an intramol. ion pair, has been synthesized. The total synthesis was efficient and illustrated many of the strategies and potential pitfalls assocd. with the prepn. of conformationally flexible ring systems. In particular, the inherent facial selectivity afforded by the shape of the cis-decalin, a crit. component of the synthetic design, was reversed in one step in which hydrogen was added from the sterically encumbered concave face of the mol. A cis-decalin amino acid of a different stereoelectronic array was also prepd. These mols. are the first examples to emerge from the application of a general design and synthetic strategy that will enable probes for all of the important biol. electrostatic interactions to be constructed. The study of these mols. will provide significant insight into the synergistic role of mol. structure and solvent at controlling electrostatic interactions in H2O, an important basis of biol. structure and function.

RX(6) OF 9



REF: J. Org. Chem., 57(16), 4386-94; 1992

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref l34 14

L34 ANSWER 14 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 115:49382 CASREACT
TI Chiral compounds
IN Evans, Christopher Thomas; Roberts, Stanley Michael
PA Enzymatix Ltd., UK
SO Eur. Pat. Appl., 7 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 424064	A1	19910424	EP 1990-311253	19901015
	EP 424064	B1	19950208		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 03218380	A2	19910925	JP 1990-277589	19901015
	JP 2648013	B2	19970827		
	ES 2067693	T3	19950401	ES 1990-311253	19901015
	US 5284769	A	19940208	US 1993-63392	19930520
	US 5498625	A	19960312	US 1994-336754	19941108
	US 5688933	A	19971118	US 1995-461973	19950605
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	GB 1989-24209		19891027		
	GB 1990-995		19900117		
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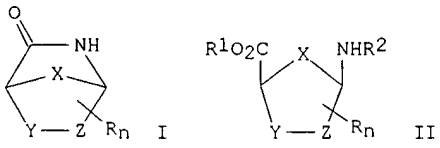
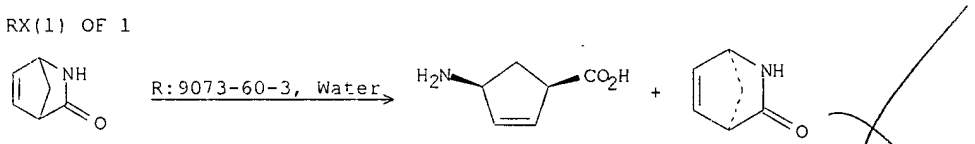
OS MARPAT 115:49382
GI



AB Lactamases react with .gamma.-lactams I (X = CH2, CH2CH2, Q, CH2Q, QCH2, Q = heteroatom (including NH), Y, Z = CH2, heteroatom (including NH); YZ = CH:CH, CH:N, N:CH; Rn = H or substituent) to give single enantiomer of the lactam and the corresponding ring opened product II (R1 = H, alkyl; R2 = H, blocking group). Thus, (.+-.)-2-azabicyclo[2.2.1]hept-5-en-3-one was treated with ENZA-1 cell paste (prepn. given) in phosphate buffer to give (+)-lactam and the corresponding (-)-amino acid.

RX(1) OF 1

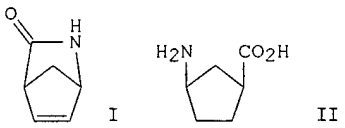


REF: Eur. Pat. Appl., 424064, 24 Apr 1991
NOTE: Enzymic, stereoselective, pH 7

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref l34 15

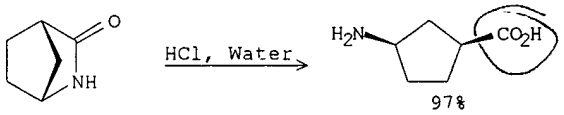
L34 ANSWER 15 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 114:246838 CASREACT
TI Synthesis of either enantiomer of cis-3-aminocyclopentanecarboxylic acid from both enantiomers of racemic 2-azabicyclo[2.2.1]hept-5-en-3-one
AU Evans, Chris; McCague, Ray; Roberts, Stanley M.; Sutherland, Alan G.
CS Enzymatix Ltd., Cambridge, CB4 4WE, UK
SO J. Chem. Soc., Perkin Trans. 1 (1991), (3), 656-7
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
GI



I II

AB (-)-2-Azabicylco[2.2.1]hept-5-en-3-one (I) was converted into (-)-cis-3-aminocyclopentanecarboxylic acid (-)-II in 2 and into the enantiomeric (+)-II in 3 steps. Thus, bromination of I with Br2 in CH2Cl2, debromination with Bu3SnH-AIBN in PhMe, followed by hydrolysis with HCl-H2O gave (+)-II. Catalytic hydrogenation of I with Pd on C in EtOAc followed by hydrolysis gave (-)-II.

RX(4) OF 7



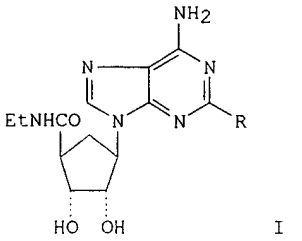
97%

REF: J. Chem. Soc., Perkin Trans. 1, (3), 656-7; 1991

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref l34 16

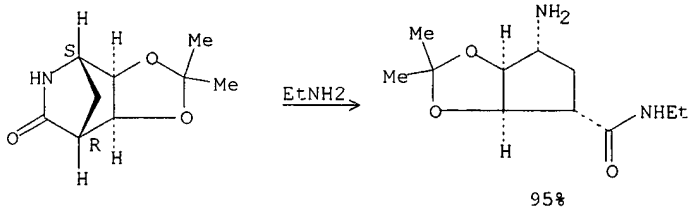
L34 ANSWER 16 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 113:6725 CASREACT
TI A novel and efficient route to chiral 2-substituted carbocyclic 5'-N-ethylcarboxamidoadenosine (C-NECA)
AU Chen, Jen; Grim, Michael; Rock, Caren; Chan, Kenneth
CS Pharm. Div., CIBA-GEIGY Corp., Summit, NJ, 07901, USA
SO Tetrahedron Lett. (1989), 30(41), 5543-6
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
GI



I

AB A series of chiral 2-substituted-carbocyclic-NECA analogs I (R = PhNH, cyclohexylamino, PhCH2CH2NH, etc.) was prepd. in seven steps with an efficient resoln. The overall yield is good and can be applied to the other carbocyclic nucleosides.

RX(2) OF 47



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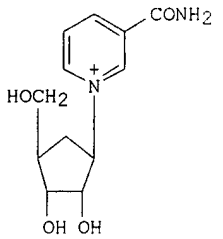
REF: Tetrahedron Lett., 30(41), 5543-6; 1989

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref l34 17

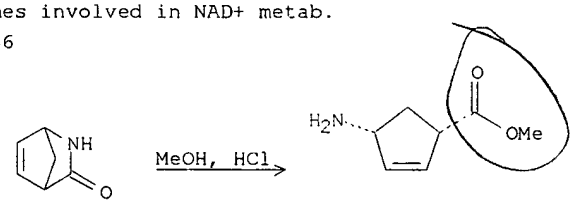
L34 ANSWER 17 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 112:217364 CASREACT
TI Synthesis of the two enantiomers of the carbocyclic analog of nicotinamide ribose and analysis of their biological properties
AU Ikbal, Mohamed; Cerceau, Claude; Le Goffic, Francois; Sicsic, Sames
CS CERCOA, CNRS, Thiais, 94320, Fr.
SO Eur. J. Med. Chem. (1989), 24(4), 415-20
CODEN: EJMCA5; ISSN: 0223-5234
DT Journal
LA French
GI



I

AB Enantiomers of the carbocyclic analog of nicotinamide ribose I were prepd. via an enzymic resoln. of the precursor (.+-.)-II using pig liver esterase. (-)-I possessed good and highly specific bactericidal and fungicidal activities. In vivo competition expts. between (-)-I and intermediate mols. of the pyridine nucleotide cycle along with its inhibitory behavior against 2 key enzymes of the NAD+ metab. were performed and suggested that the target of (-)-I could be one of the enzymes involved in NAD+ metab.

RX(1) OF 36



HCl

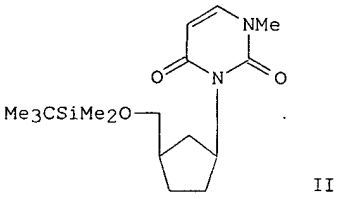
maybe

REF: Eur. J. Med. Chem., 24(4), 415-20; 1989

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref l34 18

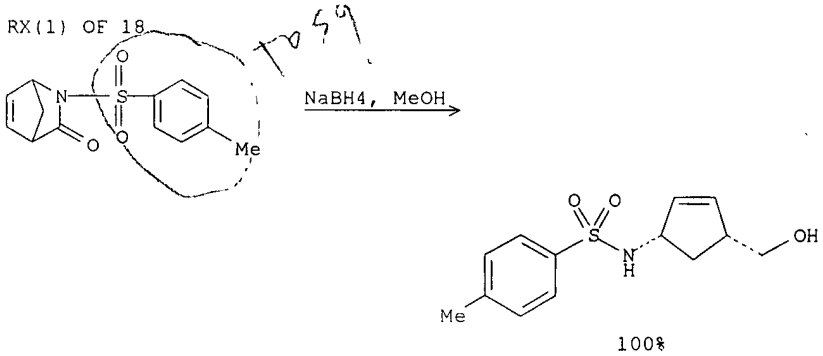
L34 ANSWER 18 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 112:36336 CASREACT
TI Synthesis of nucleosides and their related compounds. Part 13. Stereospecific synthesis of carbocyclic nucleosides from 2-azabicyclo[2.2.1]heptan-3-ones via sodium borohydride mediated carbon-nitrogen bond cleavage
AU Katagiri, Nobuya; Muto, Makoto; Keneko, Chikara
CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
SO Tetrahedron Lett. (1989), 30(13), 1645-8
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
GI



II

AB New synthons for carbocyclic nucleosides have been synthesized from 2-azabicyclo[2.2.1]]hept-5-en-3-one (I), readily available from cyclopentadiene, through introduction of an electron-withdrawing substituent at the 2-position followed by redn. with NaBH4. Thus, uracil II was prepd. from I in several steps stating with condensation with MeNCO, hydrogenation of the double bond, and cleavage of the CO-N bond with NaBH4.

RX(1) OF 18



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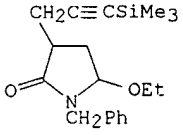
REF: Tetrahedron Lett., 30(13), 1645-8; 1989

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref 134 19

L34 ANSWER 19 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 111:77830 CASREACT
TI Silicon-assisted synthesis of bridged azabicyclic systems via N-acyliminium intermediates
AU Klaver, Wim J.; Hiemstra, Henk; Speckamp, W. Nico
CS Lab. Org. Chem., Univ. Amsterdam, Amsterdam, 1018 WS, Neth.
SO Tetrahedron (1988), 44(21), 6729-38
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
GI



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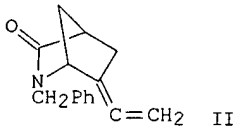
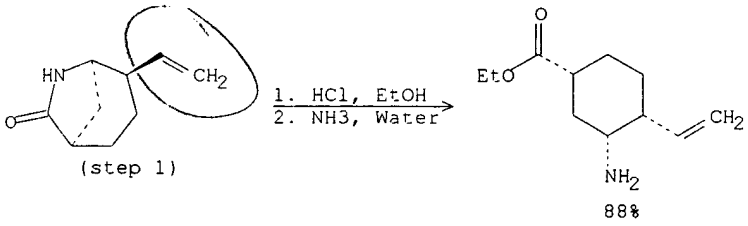


II

AB Intramol. acid-mediated reactions of 2-propynyl- and allylsilanes with five- and six-membered cyclic N-acyliminium ion precursors e.g. I lead to bridged azabicyclic compds. e.g., II. Neat formic acid is the reaction medium of choice in most cases. The cyclization reactions take place with complete regioselectivity. 2-Propynylsilanes are more reactive than allylsilanes. An ordinary olefin reacts poorly. The cyclization products can be useful for the synthesis of .gamma.- and .delta.-amino acids and derivs.

RX(30) OF 100



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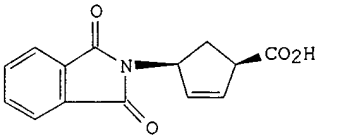
REF: Tetrahedron, 44(21), 6729-38; 1988

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref l34 20

L34 ANSWER 20 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 107:39244 CASREACT
TI .gamma.-Aminobutyric acid. Synthesis of analogs of GABA. XV. Preparation and resolution of some potent cyclopentene and cyclopentane derivatives
AU Allan, Robin D.; Fong, Joyce
CS Dep. Pharmacol., Univ. Sydney, 2006, Australia
SO Aust. J. Chem. (1986), 39(6), 855-64
CODEN: AJCHAS; ISSN: 0004-9425
DT Journal
LA English
GI



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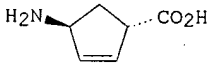

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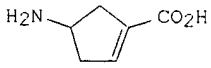
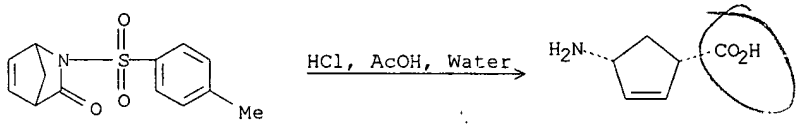


III

AB A series of cyclopentene and cyclopentane analogs of GABA were prepd. utilizing a thermal cis-trans isomerization of the phthalimido .beta.,.gamma.-unsatd. acid I as the key step to obtain trans-aminocyclopentenecarboxylic acid II. Resoln. of some of the potent GABA analogs, in particular (+)-(4S)- and (-)-(4R)-aminocyclopenetenecarboxylic acid III was achieved by crystn. of isopropylideneribonolactone esters or pantolactone esters of the phthalimido-protected intermediates.

RX(21) OF 132

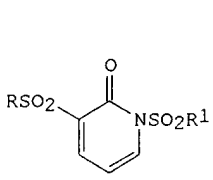


REF: Aust. J. Chem., 39(6), 855-64; 1986

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref l34 21

L34 ANSWER 21 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 107:23211 CASREACT
TI Diels-Alder cycloadditions using electrophilic sulfonyl pyridones
AU Posner, Gary H.; Switzer, Christopher
CS Dep. Chem., Johns Hopkins Univ., Baltimore, MD, 21218, USA
SO J. Org. Chem. (1987), 52(8), 1642-4
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
GI



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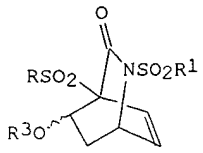
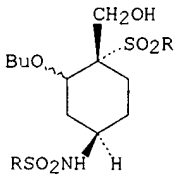


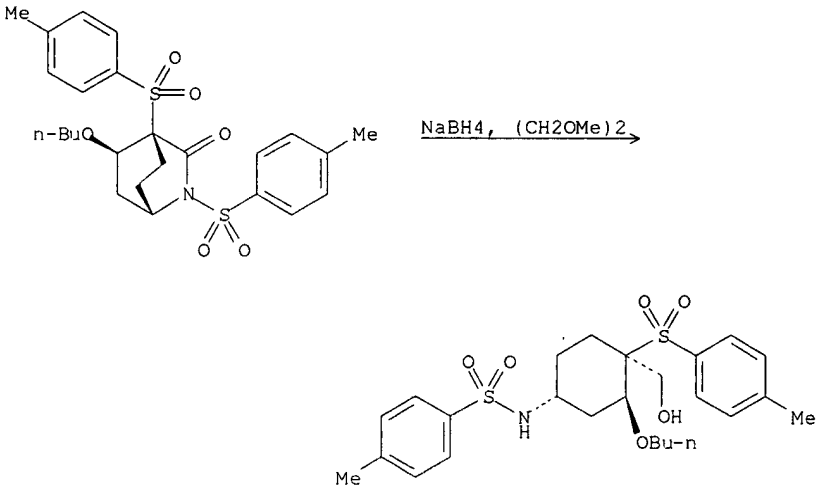
II



III

AB A series of N-sulfonyl-3-p-toluenesulfonyl-2-pyridones I (R = 4-MeC6H4; R1 = 4-R2C6H4, C6F5,CF3,R2 = Me,Br,F,NO2) were prepd. from 3-bromo-2-pyridone. Several of the electrophilic pyridones I reacted with R3OCH:CH2(R3 = Et,Bu) between 25-100.degree.C to produce unsatd., bridged, bicyclic lactams II. At 5-7 kbar of pressure, such inverse-electron-demand Diels-Alder cycloaddns. proceeded smoothly at 25-50.degree. forming cycloadducts II (R1 = 4-MeC6H4,R3 = Bu; R1 = C6F5,R3 = Et) in a regiospecific and stereoselective manner. Catalytic redn. of the ethylenic bridge of bicyclic lactam II (R1 = 4-MeC6H4,R3 = Bu) followed by reductive cleavage by NaBH4 formed. Functionalized aminocyclohexane III (R = 4-MeC6H4).

RX(37) OF 300



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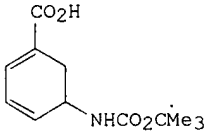
REF: J. Org. Chem., 52(8), 1642-4; 1987

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref l34 22

L34 ANSWER 22 OF 22 CASREACT COPYRIGHT 2000 ACS
AN 106:18221 CASREACT
TI Regioselective synthesis of (.+-.)-gabaculine
AU Hiemstra, Henk; Klaver, Wim J.; Speckamp, W. Nico
CS Lab. Org. Chem., Univ. Amsterdam, Amsterdam, 1018 WS, Neth.
SO Tetrahedron Lett. (1986), 27(12), 1411-14
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
GI



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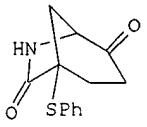
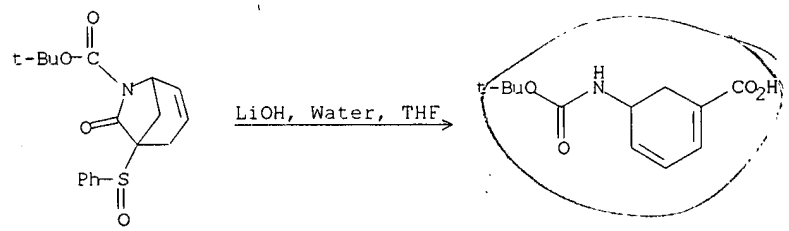


II

AB The gabaculine intermediate I was prepd. from 5-ethoxy-2-pyrrolidinone via the diketone II whose monotosylhydrazone was subjected to oxidative ring cleavage.

RX(11) OF 52



REF: Tetrahedron Lett., 27(12), 1411-14; 1986

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